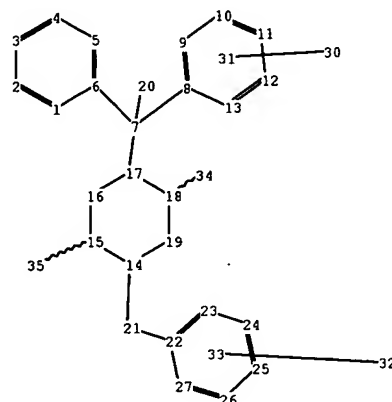
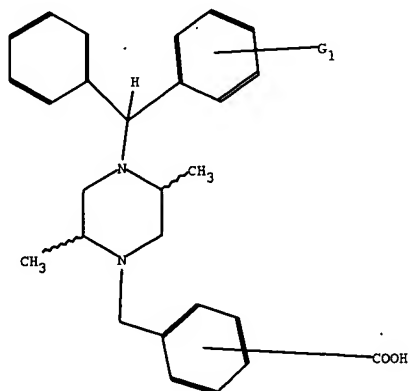


EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	1630	ischemic adj damage	US-PGPUB; USPAT	OR	OFF	2006/07/29 22:01
L2	195	l1 and (arrythmia or arrhythmia)	US-PGPUB; USPAT	OR	OFF	2006/07/29 22:01
L3	82	l1 and (arrythmia or arrhythmia)	USPAT	OR	OFF	2006/07/29 22:11
L4	1	"6514975".pn.	USPAT	OR	OFF	2006/07/29 22:18
L5	1	"6919350".pn.	USPAT	OR	OFF	2006/07/29 22:21
L6	1	"6300332".pn.	USPAT	OR	OFF	2006/07/29 22:19
L7	104657	chang or perndergast or gengo or carrboro	US-PGPUB; USPAT	OR	OFF	2006/07/29 22:20
L8	135	l7 and (delta adj opioid)	US-PGPUB; USPAT	OR	OFF	2006/07/29 22:32
L9	3	l8 and ardent	USPAT	OR	OFF	2006/07/29 22:21
L10	4	ardent and (delta adj opioid)	US-PGPUB; USPAT	OR	OFF	2006/07/29 22:27
L11	0	diarylmethyloperazine	US-PGPUB; USPAT	OR	OFF	2006/07/29 22:28
L12	18	diarylmethyloperazine	US-PGPUB; USPAT	OR	OFF	2006/07/29 22:30
L13	5	l12 and (ischemia or ischemic or heart or cardio or myo or cardiac)	US-PGPUB; USPAT	OR	OFF	2006/07/29 22:32
L14	195172	(ischemia or ischemic or heart or cardio or myo or cardiac)	US-PGPUB; USPAT	OR	OFF	2006/07/29 22:32
L15	326	l14 and (delta adj opioid)	US-PGPUB; USPAT	OR	OFF	2006/07/29 22:33
L16	148	l14 and (delta adj opioid)	USPAT	OR	OFF	2006/07/29 22:33
L17	179	l15 and (ischemia or ischemic)	US-PGPUB; USPAT	OR	OFF	2006/07/29 22:33



chain nodes :

7 20 21 30 31 32 33 34 35

ring nodes :

1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 17 18 19 22 23 24 25 26 27

chain bonds :

6-7 7-8 7-17 7-20 14-21 15-35 18-34 21-22 30-31 32-33

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 14-15 14-19 15-16 16-17 17-18
18-19 22-23 22-27 23-24 24-25 25-26 26-27

exact/norm bonds :

7-17 14-15 14-19 14-21 15-16 16-17 17-18 18-19 30-31

exact bonds :

6-7 7-8 7-20 15-35 18-34 21-22 32-33

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 22-23 22-27 23-24 24-25 25-26
26-27

G1:X,OH,MeO,EtO,n-PrO,i-PrO,n-BuO,i-BuO,s-BuO,t-BuO,H

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS8:Atom 9:Atom 10:Atom 11:Atom 12:Atom
13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS21:CLASS22:Atom 23:Atom
24:Atom

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1616BSK

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

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NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 FEB 27 New STN AnaVist pricing effective March 1, 2006
NEWS 4 APR 04 STN AnaVist \$500 visualization usage credit offered
NEWS 5 MAY 10 CA/CAPLUS enhanced with 1900-1906 U.S. patent records
NEWS 6 MAY 11 KOREAPAT updates resume
NEWS 7 MAY 19 Derwent World Patents Index to be reloaded and enhanced
NEWS 8 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAPLUS and
USPATFULL/USPAT2
NEWS 9 MAY 30 The F-Term thesaurus is now available in CA/CAPLUS
NEWS 10 JUN 02 The first reclassification of IPC codes now complete in
INPADOC
NEWS 11 JUN 26 TULSA/TULSA2 reloaded and enhanced with new search and
and display fields
NEWS 12 JUN 28 Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 13 JUL 11 CHEMSAFE reloaded and enhanced
NEWS 14 JUL 14 FSTA enhanced with Japanese patents
NEWS 15 JUL 19 Coverage of Research Disclosure reinstated in DWPI

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8
NEWS X25 X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that
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FILE 'HOME' ENTERED AT 21:36:51 ON 29 JUL 2006

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

(FILE 'HOME' ENTERED AT 21:36:51 ON 29 JUL 2006)

FILE 'REGISTRY' ENTERED AT 21:47:48 ON 29 JUL 2006

L1 STRUCTURE UPLOADED
L2 47 S SSS FULL L1

FILE 'CAPLUS, BIOSIS, USPATFULL' ENTERED AT 21:48:25 ON 29 JUL 2006

L3 16 S L2
L4 16 DUP REM L3 (0 DUPLICATES REMOVED)
L5 16 FOCUS L4 1-
E CHANG KWEN/AU
L6 1775 S E-37
E PENDERGAST W/AU
E GENGO P/AU OR MA XIN/AU
L7 31 S E4-E5
E MA X/AU
E MA XIN/AU
L8 269 S E3-E12

=> s 15 or 16 or 17 or 18

L9 2088 L5 OR L6 OR L7 OR L8

=> s 15 and 19

L10 16 L5 AND L9

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	3.78	3.78

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STRUCTURE FILE UPDATES: 27 JUL 2006 HIGHEST RN 896463-29-9
DICTIONARY FILE UPDATES: 27 JUL 2006 HIGHEST RN 896463-29-9

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\diarylmethylpiperazine.str

L1 STRUCTURE UPLOADED

=> s sss full l1

FULL SEARCH INITIATED 21:48:13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 300 TO ITERATE

100.0% PROCESSED 300 ITERATIONS 47 ANSWERS
SEARCH TIME: 00.00.01

L2 47 SEA SSS FUL L1

=> file caplus biosis uspatful

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	166.94	170.72

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FILE 'USPATFULL' ENTERED AT 21:48:25 ON 29 JUL 2006
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

=> s 12
L3 16 L2

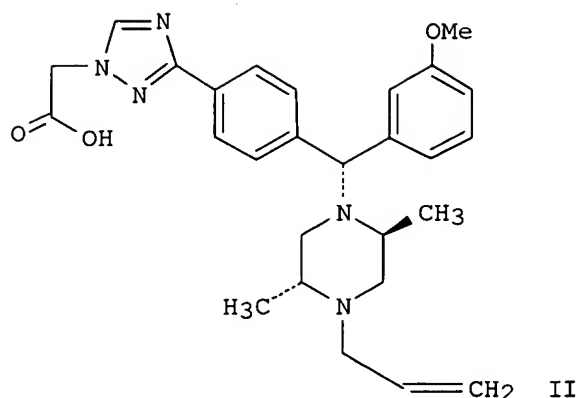
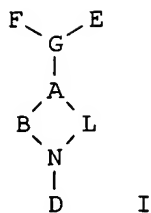
=> dup rem 13
PROCESSING COMPLETED FOR L3
L4 16 DUP REM L3 (0 DUPLICATES REMOVED)

=> focus
PROCESSING COMPLETED FOR L4
L5 16 FOCUS L4 1-

=> d ibib abs hitstr 1-16

L5 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:43346 CAPLUS
DOCUMENT NUMBER: 132:93337
TITLE: Preparation of benzylpiperazine derivatives as delta
opioid receptor agonists
INVENTOR(S): Maw, Graham Nigel; Middleton, Donald Stuart
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Jpn. Kokai Tokkyo Koho, 289 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000016984	A2	20000118	JP 1999-58364	19990305
JP 3416069	B2	20030616		
US 6200978	B1	<u>20010313</u>	US 1999-261540	19990303
CA 2263957	C	20031007	CA 1999-2263957	19990303
CA 2263957	AA	19990905		
BR 9917527	A	20020723	BR 1999-17527	19990305
PRIORITY APPLN. INFO.:			GB 1998-4734	A 19980305
OTHER SOURCE(S):	MARPAT	132:93337		
GI				



AB Title compds [I; A = N, CX; X = H, cl-4 alkyl; G = CY; Y = H, cl-4alkyl; B = cl-4 hydrocarbonyl; A, B, L, N constitute 5-7 atoms ring; D = H, cl-10 hydrocarbonyl; D linked to B or L forming 5-7 membered-ring; E = OH substituted Ph, cl-4 alkoxy, NH₂SO₂cl-4alkylene; F = aryl, heterocyclyl (exclude tetrazolyl)], pharmaceutically acceptable salt, solvate, and stereoisomers are prepared and tested as delta opioid receptor agonists and claimed useful in the manufacture of pharmaceutical composition, including method

comprising administering to a subject an effective amount of a title compound, for preventing or in treatment of inflammation diseases such as arthritis, psoriasis, asthma, inflammatory bowel disease, disorders of respiratory function, gastro-intestinal disorders, such as functional bowel disease, functional GI disorders (irritable bowel syndrome), functional diarrhea, functional distension, functional pain, non-ulcerogenic dyspepsia, or others associated with disorders of motility or secretion, urogenital tract disorders such as incontinence, as analgesics for treating pain including non-somatic pain, or as immunosuppressants to prevent rejection in organ transplant and skin graft. The title compound II was prepared

IT 254113-26-3P 254113-27-4P 254113-28-5P
254113-29-6P 254113-40-1P 254113-41-2P
254113-42-3P 254113-43-4P 254113-46-7P
254113-47-8P 254113-78-5P 254113-81-0P
254113-83-2P 254113-84-3P 254113-90-1P
254113-96-7P 254113-98-9P 254114-02-8P
254114-05-1P 254114-11-9P 254114-19-7P
254114-20-0P 254114-22-2P

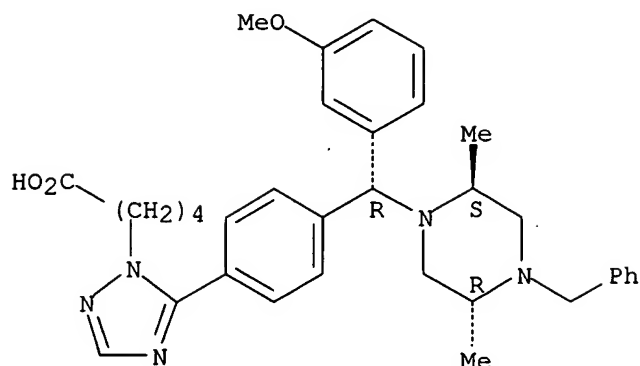
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzylpiperazine derivs. as delta opioid receptor agonists)

RN 254113-26-3 CAPLUS

CN 1H-1,2,4-Triazole-1-pentanoic acid, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-methoxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

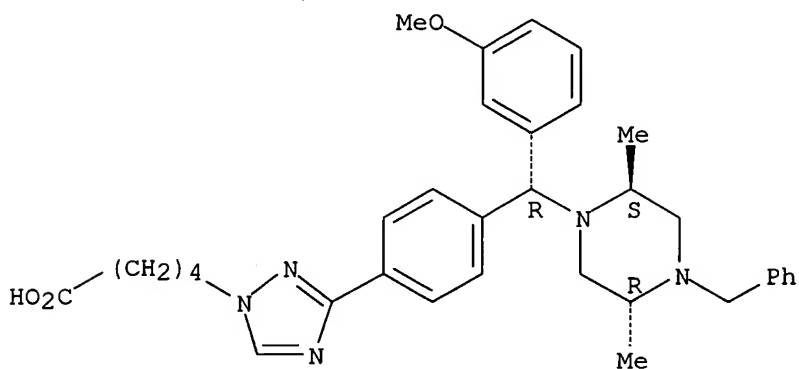
Absolute stereochemistry.



RN 254113-27-4 CAPLUS

CN 1H-1,2,4-Triazole-1-pentanoic acid, 3-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-methoxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

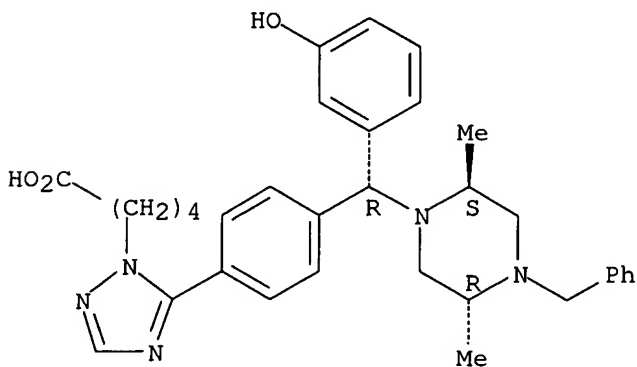
Absolute stereochemistry.



RN 254113-28-5 CAPLUS

CN 1H-1,2,4-Triazole-1-pentanoic acid, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

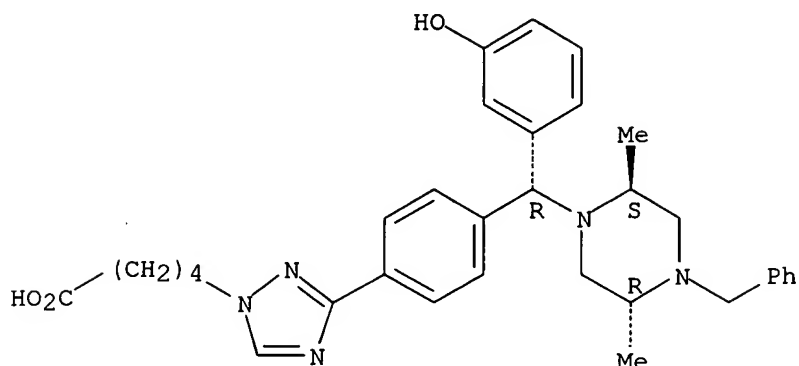


RN 254113-29-6 CAPLUS

CN 1H-1,2,4-Triazole-1-pentanoic acid, 3-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-

(phenylmethyl)-1-piperazinyl] (3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA
INDEX NAME)

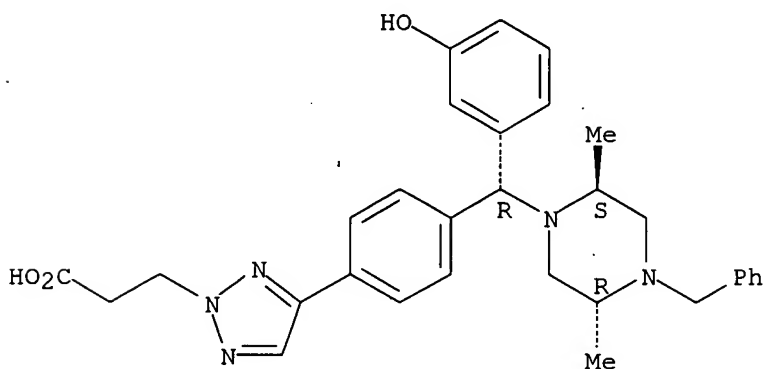
Absolute stereochemistry.



RN 254113-40-1 CAPLUS

CN 2H-1,2,3-Triazole-2-propanoic acid, 4-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl] (3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA
INDEX NAME)

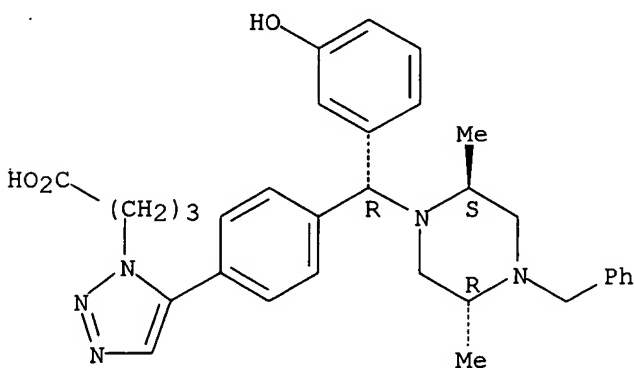
Absolute stereochemistry.



RN 254113-41-2 CAPLUS

CN 1H-1,2,3-Triazole-1-butanoic acid, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl] (3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA
INDEX NAME)

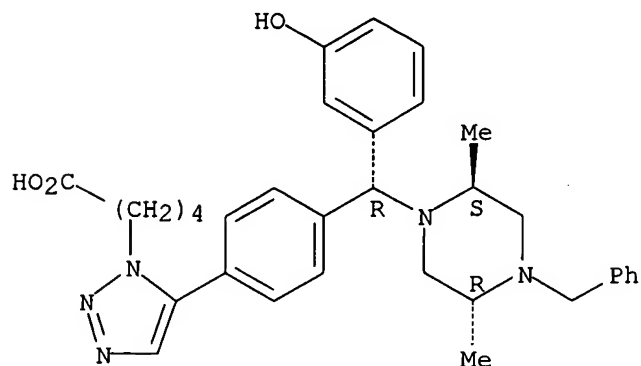
Absolute stereochemistry.



RN 254113-42-3 CAPLUS

CN 1H-1,2,3-Triazole-1-pentanoic acid, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

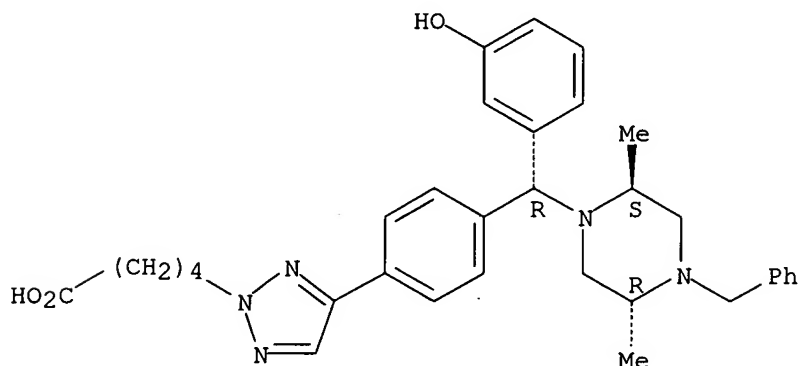
Absolute stereochemistry. Rotation (-).



RN 254113-43-4 CAPLUS

CN 2H-1,2,3-Triazole-2-pentanoic acid, 4-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

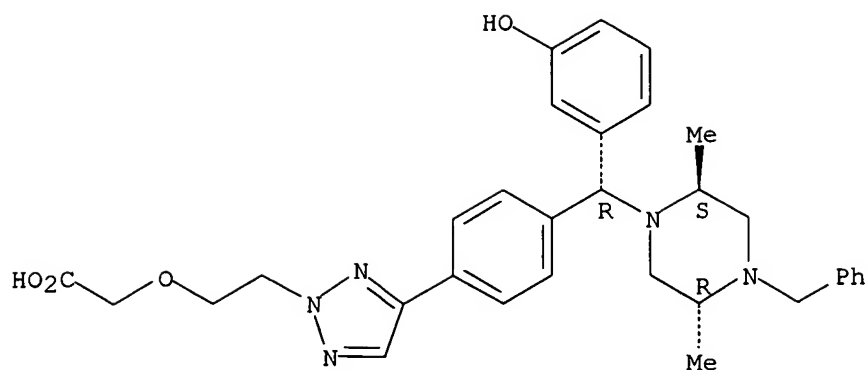
Absolute stereochemistry. Rotation (-).



RN 254113-46-7 CAPLUS

CN Acetic acid, [2-[4-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]-2H-1,2,3-triazol-2-yl]ethoxy]- (9CI) (CA INDEX NAME)

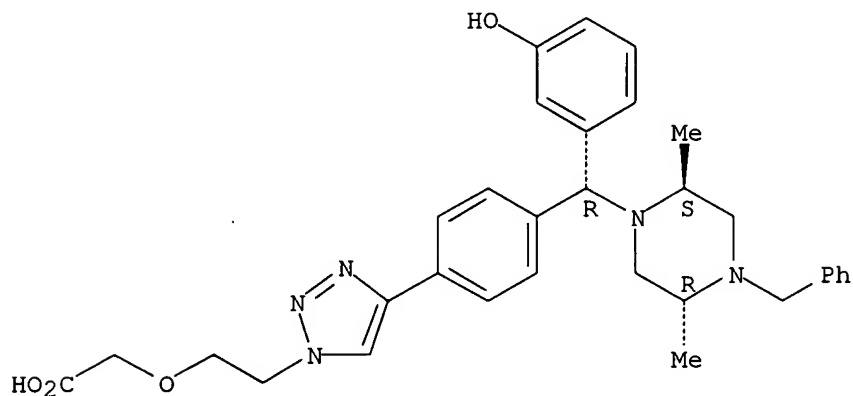
Absolute stereochemistry. Rotation (-).



RN 254113-47-8 CAPLUS

CN Acetic acid, [2-[4-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]-1H-1,2,3-triazol-1-yl]ethoxy]- (9CI) (CA INDEX NAME)

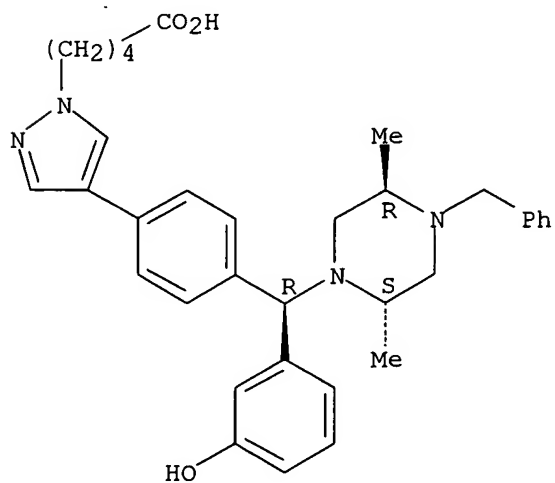
Absolute stereochemistry. Rotation (-).



RN 254113-78-5 CAPLUS

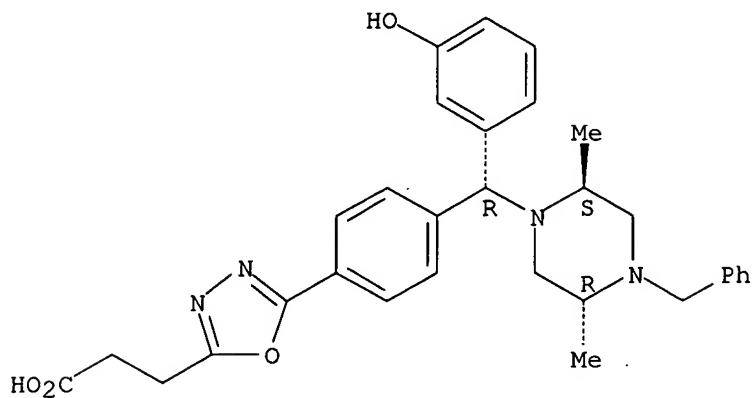
CN 1H-Pyrazole-1-pentanoic acid, 4-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



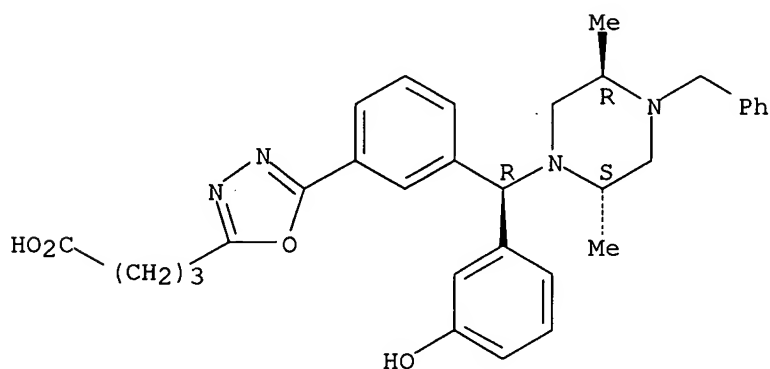
RN 254113-81-0 CAPLUS
 CN 1,3,4-Oxadiazole-2-propanoic acid, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



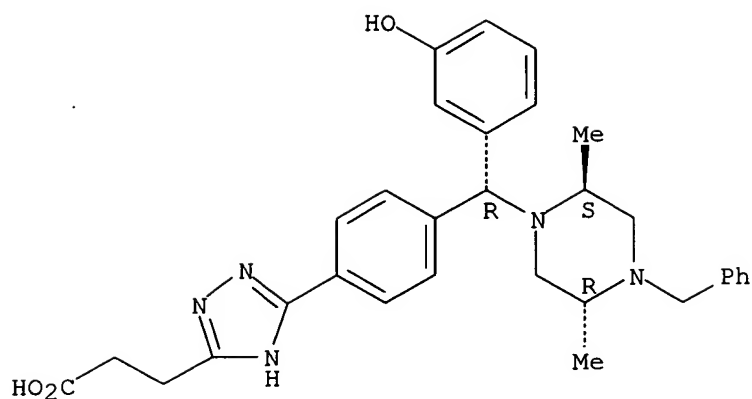
RN 254113-83-2 CAPLUS
 CN 1,3,4-Oxadiazole-2-butanoic acid, 5-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 254113-84-3 CAPLUS
 CN 1H-1,2,4-Triazole-3-propanoic acid, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

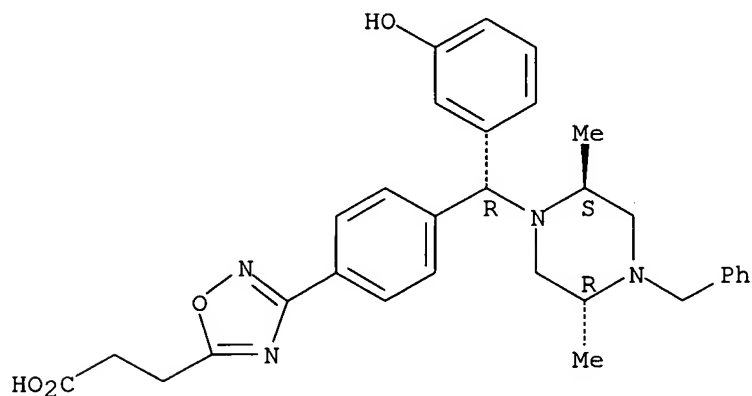
Absolute stereochemistry.



RN 254113-90-1 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

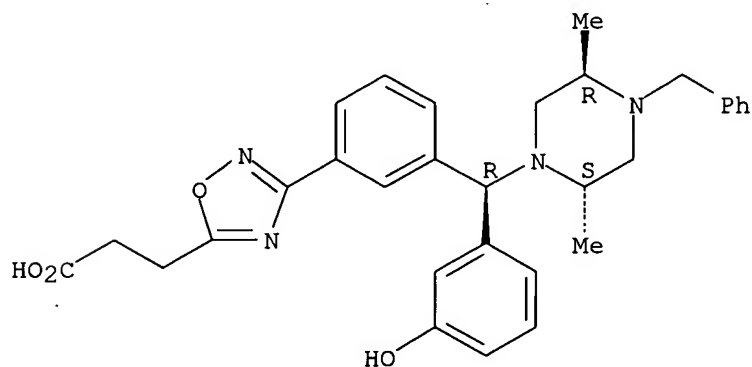
Absolute stereochemistry.



RN 254113-96-7 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

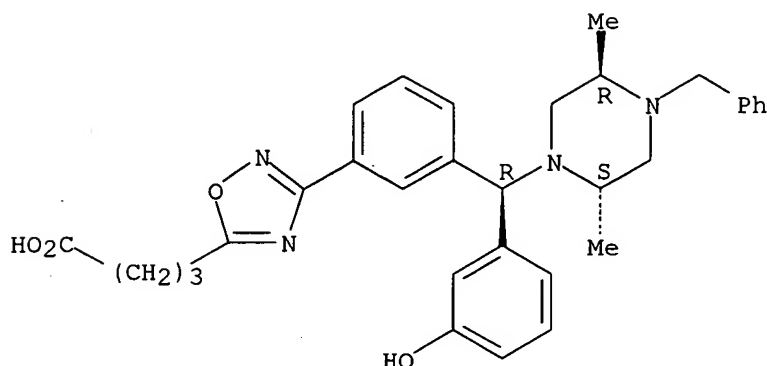


RN 254113-98-9 CAPLUS

CN 1,2,4-Oxadiazole-5-butanoic acid, 3-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

(phenylmethyl)-1-piperazinyl] (3-hydroxyphenyl)methyl]phenyl)- (9CI) (CA INDEX NAME)

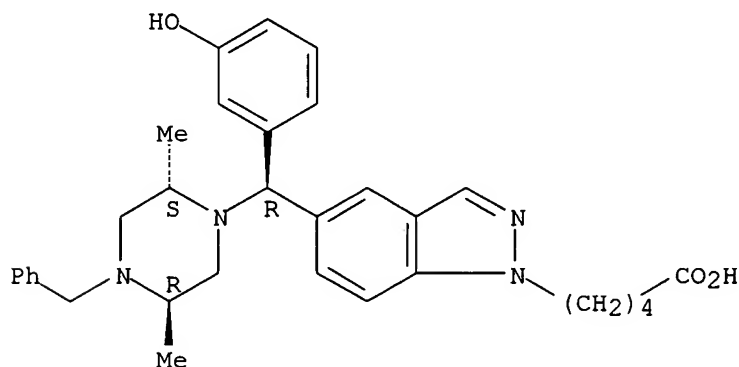
Absolute stereochemistry.



RN 254114-02-8 CAPLUS

CN 1H-Indazole-1-pentanoic acid, 5-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl] (3-hydroxyphenyl)methyl]- (9CI) (CA INDEX NAME)

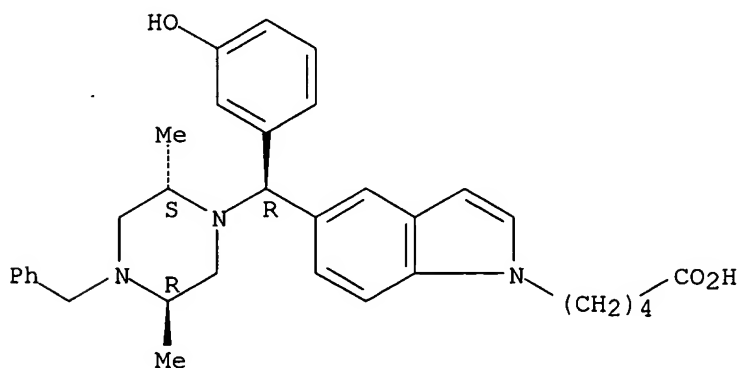
Absolute stereochemistry.



RN 254114-05-1 CAPLUS

CN 1H-Indole-1-pentanoic acid, 5-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl] (3-hydroxyphenyl)methyl]- (9CI) (CA INDEX NAME)

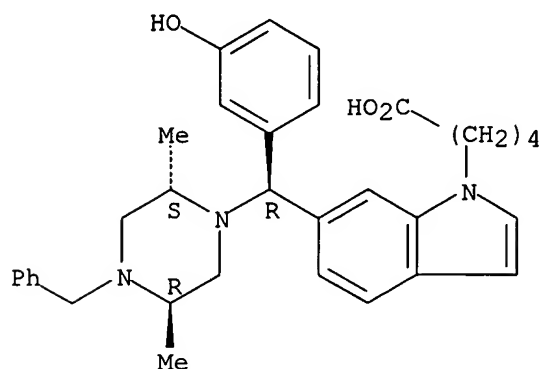
Absolute stereochemistry.



RN 254114-11-9 CAPLUS

CN 1H-Indole-1-pentanoic acid, 6-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]- (9CI) (CA INDEX NAME)

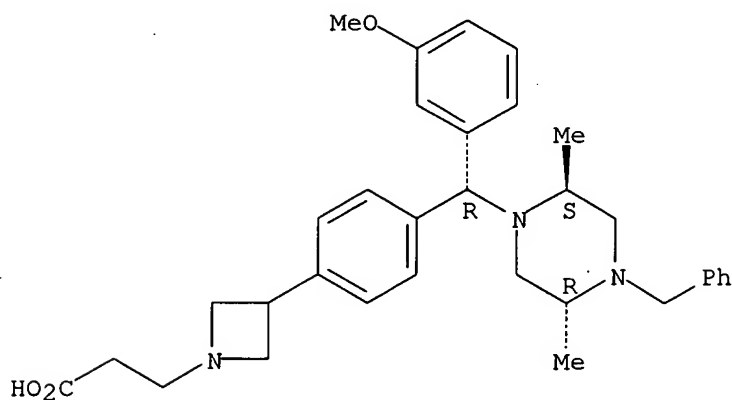
Absolute stereochemistry.



RN 254114-19-7 CAPLUS

CN 1-Azetidinepropanoic acid, 3-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-methoxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

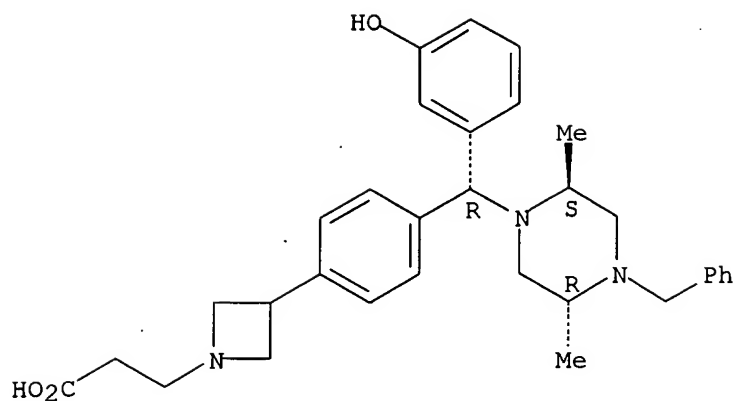
Absolute stereochemistry.



RN 254114-20-0 CAPLUS

CN 1-Azetidinepropanoic acid, 3-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

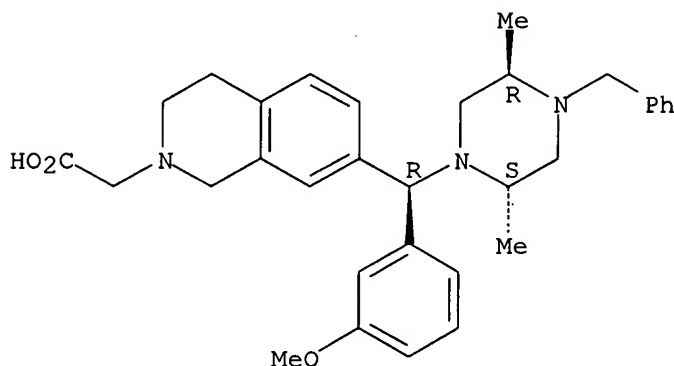
Absolute stereochemistry.



RN 254114-22-2 CAPLUS

CN 2(1H)-Isoquinolineacetic acid, 7-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-methoxyphenyl)methyl]-3,4-dihydro- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:16597 CAPLUS

DOCUMENT NUMBER: 144:205142

TITLE: Highly potent and selective zwitterionic agonists of the δ -opioid receptor. Part 1

AUTHOR(S): Middleton, Donald S.; Maw, Graham N.; Challenger, Clare; Jessiman, Alan; Johnson, Patrick S.; Million, William A.; Nichols, Carly L.; Price, Jenny A.; Trevethick, Michael

CORPORATE SOURCE: Department of Discovery Chemistry, Pfizer Global Research and Development, Sandwich, Kent, CT13 9NJ, UK

SOURCE: Bioorg. Med. Chem. Lett. (2006), 16(4), 905-910

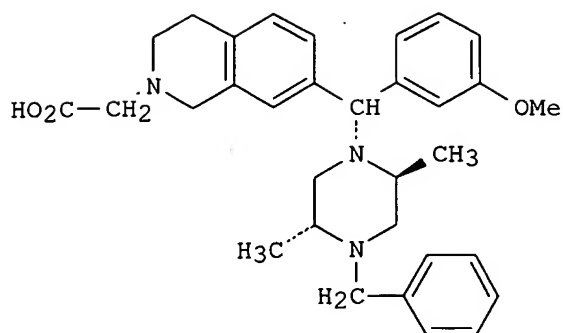
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



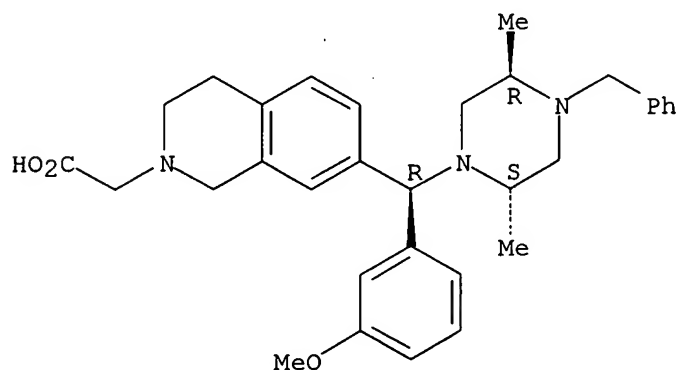
AB A series of zwitterionic δ -opioid agonists, with targeted physicochem., as a strategy to limit potential for CNS exposure, were prepared. These agents were found to possess exquisite potency and selectivity over μ and κ -opiate activity. Furthermore, analog (I) was found to display restricted CNS exposure, as evidenced by its inactivity in a rodent hyperlocomotion assay of central opiate activity. Dog pharmacokinetic studies on I indicated encouraging oral bioavailability.

IT 254114-22-2P
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn and structure activity relations of highly potent and selective zwitterionic agonists of the δ -opioid receptor)

RN 254114-22-2 CAPLUS

CN 2(1H)-Isoquinolineacetic acid, 7-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-methoxyphenyl)methyl]-3,4-dihydro- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

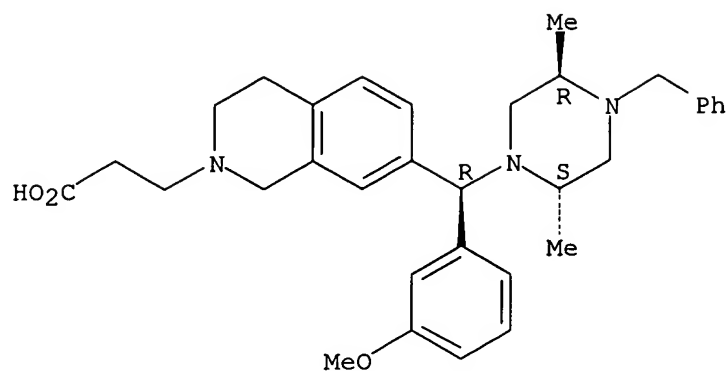


IT 875899-83-5P 875899-84-6P 875899-85-7P
 875899-86-8P 875899-90-4P
 RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn and structure activity relations of highly potent and selective zwitterionic agonists of the δ -opioid receptor)

RN 875899-83-5 CAPLUS

CN 2(1H)-Isoquinolinepropanoic acid, 7-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-methoxyphenyl)methyl]-3,4-dihydro- (9CI)
 (CA INDEX NAME)

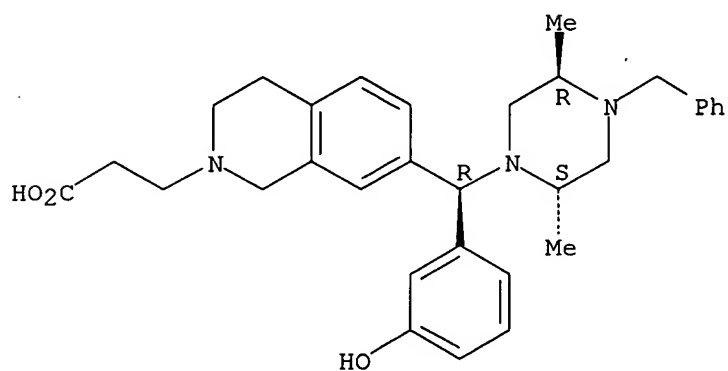
Absolute stereochemistry.



RN 875899-84-6 CAPLUS

CN 2(1H)-Isoquinolinepropanoic acid, 7-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl] (3-hydroxyphenyl)methyl]-3,4-dihydro- (9CI)
(CA INDEX NAME)

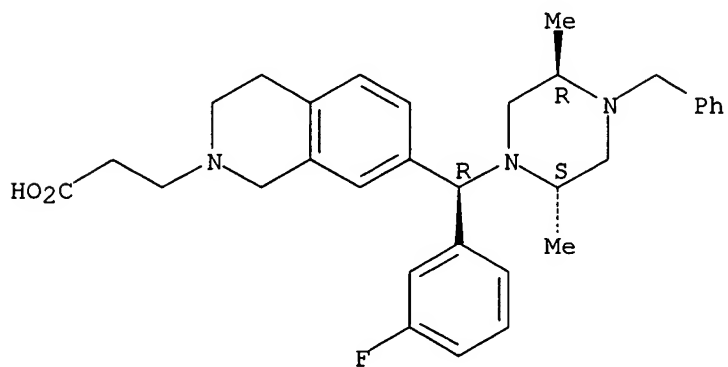
Absolute stereochemistry.



RN 875899-85-7 CAPLUS

CN 2(1H)-Isoquinolinepropanoic acid, 7-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl] (3-fluorophenyl)methyl]-3,4-dihydro- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

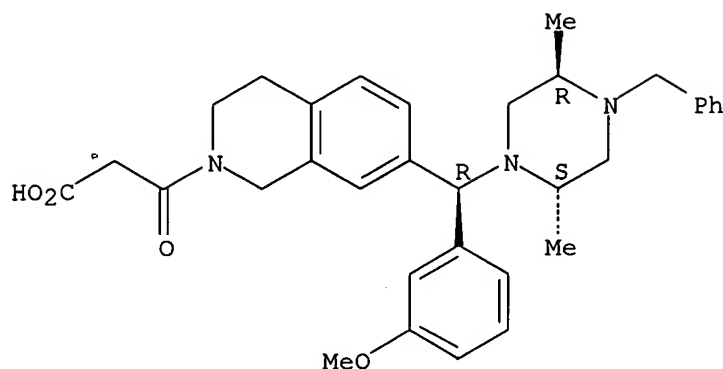


RN 875899-86-8 CAPLUS

CN 2(1H)-Isoquinolinepropanoic acid, 7-[(R)-[(2S,5R)-2,5-dimethyl-4-

(phenylmethyl)-1-piperazinyl] (3-methoxyphenyl)methyl]-3,4-dihydro- β -
oxo- (9CI) (CA INDEX NAME)

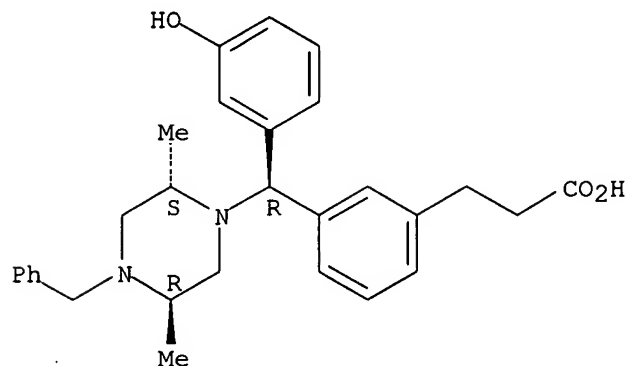
Absolute stereochemistry.



RN 875899-90-4 CAPLUS

CN Benzenepropanoic acid, 3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl] (3-hydroxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 875899-74-4P 875899-76-6P 875899-77-7P

875899-78-8P 875899-79-9P

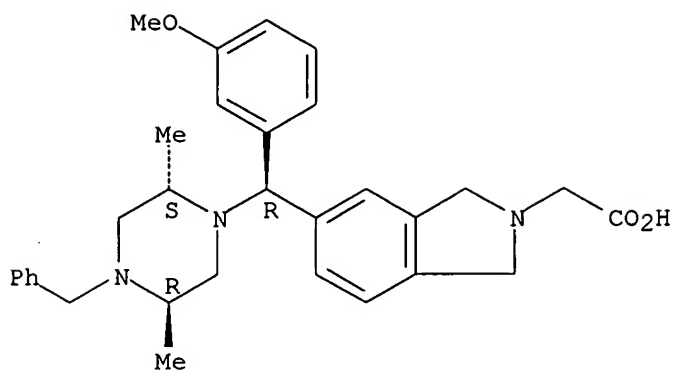
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn and structure activity relations of highly potent and selective zwitterionic agonists of the δ -opioid receptor)

RN 875899-74-4 CAPLUS

CN 2H-Isoindole-2-acetic acid, 5-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl] (3-methoxyphenyl)methyl]-1,3-dihydro- (9CI) (CA INDEX NAME)

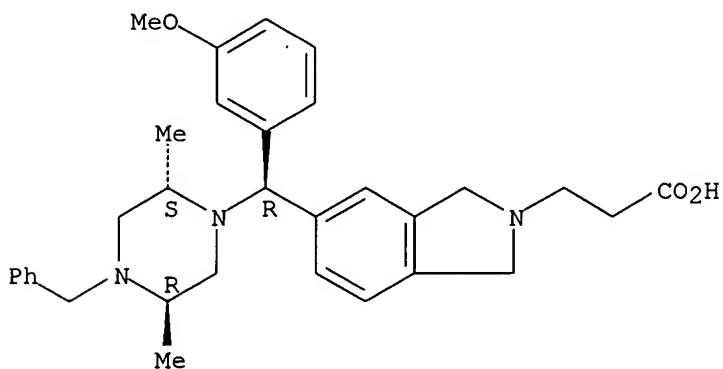
Absolute stereochemistry.



RN 875899-76-6 CAPLUS

CN 2H-Isoindole-2-propanoic acid, 5-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-methoxyphenyl)methyl]-1,3-dihydro- (9CI)
(CA INDEX NAME)

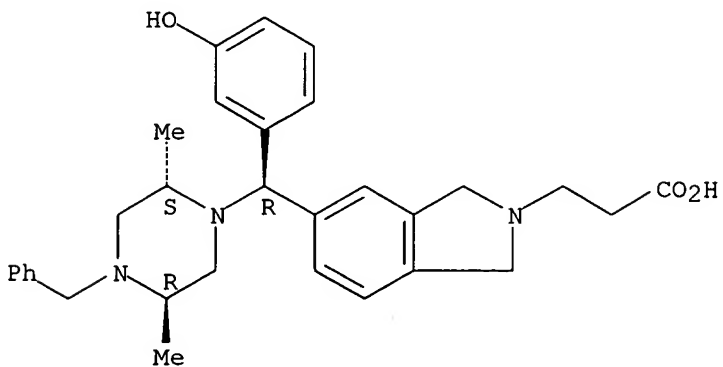
Absolute stereochemistry.



RN 875899-77-7 CAPLUS

CN 2H-Isoindole-2-propanoic acid, 5-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]-1,3-dihydro- (9CI)
(CA INDEX NAME)

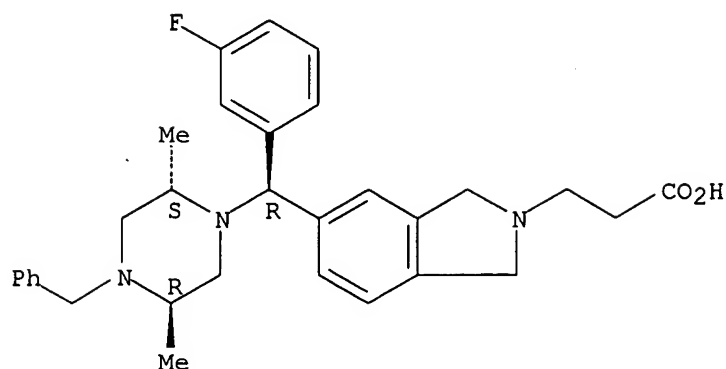
Absolute stereochemistry.



RN 875899-78-8 CAPLUS

CN 2H-Isoindole-2-propanoic acid, 5-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-fluorophenyl)methyl]-1,3-dihydro- (9CI)
(CA INDEX NAME)

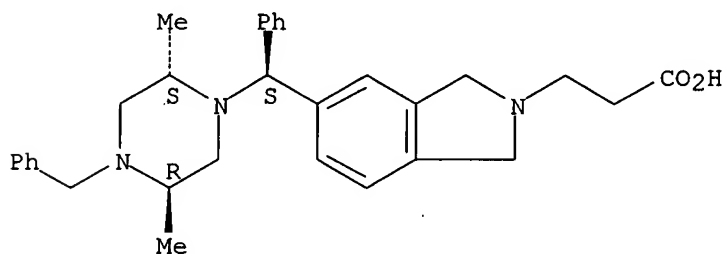
Absolute stereochemistry.



RN 875899-79-9 CAPLUS

CN 2H-Isoindole-2-propanoic acid, 5-[(S)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]phenylmethyl]-1,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:551384 CAPLUS

DOCUMENT NUMBER: 139:117440

TITLE: Preparation of novel piperazinylbenzyl derivatives and method of treating premature ejaculation with these and known delta opioid receptor agonists

INVENTOR(S): Chank, Kwen-jen; King, Klim; Biciunas, Kestutis P.; Mcnutt, Robert W.; Pendergast, William; Jan, Shyi-tai

PATENT ASSIGNEE(S): Ardent Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 138 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

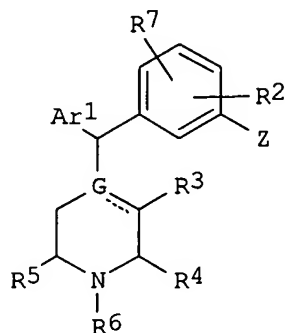
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

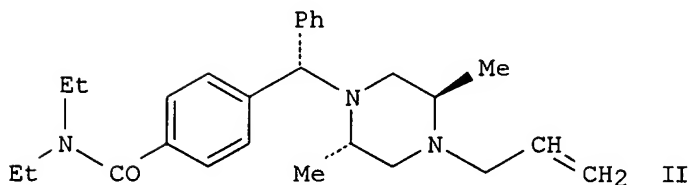
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WO 2003057223	C2	20040429		
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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

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 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 AU 2003214800 A1 20030724 AU 2003-214800 20030102
 US 2003186872 A1 20031002 US 2003-335764 20030102
 EP 1469850 A1 20041027 EP 2003-710631 20030102
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 NO 2004003240 A 20040802 NO 2004-3240 20040802
 PRIORITY APPLN. INFO.: US 2002-345216P P 20020102
 WO 2003-US87 W 20030102
 OTHER SOURCE(S): MARPAT 139:117440
 GI



I



II

AB Compns. and methods for treatment of sexual dysfunctions (particularly premature ejaculation) by administering to a subject a pharmaceutical composition comprising a delta opioid receptor agonist (known compds. such as deltorphin I as well as new piperazinybenzyl compds. shown as I; variables defined below; e.g. 4-[(α S)- α -((2S,5R)-4-allyl-2,5-dimethyl-1-piperaziny)benzyl]-N,N-diethylbenzamide (shown as II)) in an amount effective to delay the onset of ejaculation in the subject during sexual stimulation are claimed. Blocking the delta opioid receptor by the selective antagonist naltrindole eliminated the effect of the known delta opioid receptor agonist SNC-80 on ejaculation, indicating that activation of the receptor reduced the electroejaculation in male mice. Binding affinity to delta opioid receptors and EDs and % ejaculation inhibition in mice for some examples of I are tabulated. Although the methods of preparation are not claimed, .apprx.40 example preps. of I are included. For I: Ar1 is a 5- or 6-member carbocyclic or heterocyclic aromatic ring with atoms C, N, O and S and may include thiophenyl, thiazolyl, furanyl, pyrrolyl, Ph, or pyridyl, and having on a 1st C atom thereof a substituent Y (e.g. H, halo, Cl-6 acyl) and on a 2nd ring C thereof a substituent R1 (e.g. H, halo, Cl-4 alkyl). Z = H, hydroxy and carboxy and esters thereof; alkoxy,

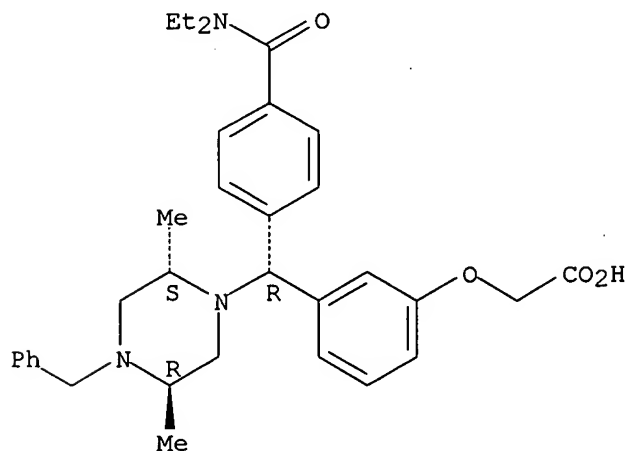
carboxyalkoxy, alkoxycarboxylic acid, hydroxymethyl, and esters thereof; and amino, carboxamides and sulfonamides thereof; G is C or N; R2 is H, halogen, or C1-C4 alkyl, C2-C4 alkenyl, C2-C4 alkynyl; R3, R4 and R5 = H and Me, and wherein at least one of R3, R4 or R5 is not H, subject to the proviso that the total number of Me groups does not exceed two, or any two of R3, R4 and R5 together may form a bridge = 1-3 C atoms;. R6 = H, C1-6 alkyl, C2-6 alkenyl, etc.; R7 = H, F; addnl. details are given in the claims; although general structures other than I are claimed, all of the examples appear to fit the I structure.

IT 561068-36-8P, 3-[(α R)- α -(2S,5R)-4-Benzyl-2,5-dimethyl-1-piperazinyl)-4-(diethylaminocarbonyl)benzyl]phenoxyacetic acid
 561068-37-9P, 3-[(α R)-4-(Diethylaminocarbonyl)- α -(2S,5R)-2,5-dimethyl-4-(4-fluorobenzyl)-1-piperazinyl]benzyl]phenoxyacetic acid 561068-66-4P, [3-[(2R,5S)-4-[(R)-(3-Diethylcarbamoylphenyl)(3-hydroxyphenyl)methyl]-2,5-dimethylpiperazin-1-yl)methyl]phenoxy]acetic acid 561068-68-6P, [3-[(2R,5S)-4-[(R)-(3-Diethylcarbamoylphenyl)(3-methoxyphenyl)methyl]-2,5-dimethylpiperazin-1-yl)methyl]phenoxy]acetic acid 561068-76-6P, [3-[(R)-(3-Diethylcarbamoylphenyl)(2S,5R)-4-(3-hydroxybenzyl)-2,5-dimethylpiperazin-1-yl)methyl]phenoxy]acetic acid 561068-77-7P, [3-[(R)-(3-Diethylcarbamoylphenyl)(2S,5R)-4-(3-methoxybenzyl)-2,5-dimethylpiperazin-1-yl)methyl]phenoxy]acetic acid 561068-78-8P, [3-[(2R,5S)-4-[(R)-[3-(Carboxymethoxy)phenyl](3-diethylcarbamoylphenyl)methyl]-2,5-dimethylpiperazin-1-yl)methyl]phenoxy]acetic acid
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of novel piperazinylbenzyl derivs. and method of treating premature ejaculation with these and known delta opioid receptor agonists)

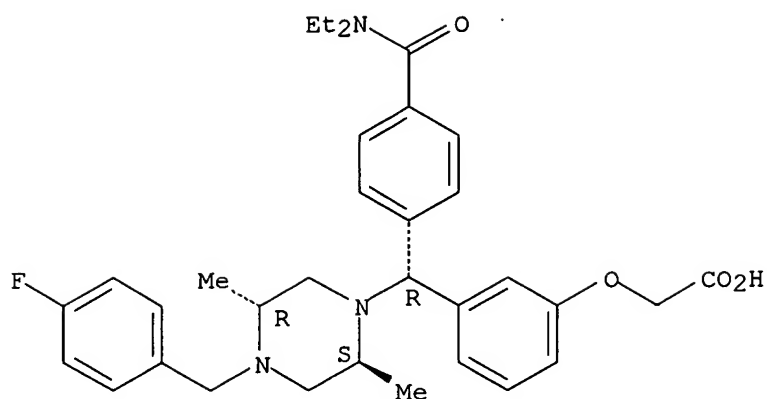
RN 561068-36-8 CAPLUS
 CN Acetic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl)methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 561068-37-9 CAPLUS
 CN Acetic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][(2S,5R)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl)methyl]phenoxy]- (9CI) (CA INDEX NAME)

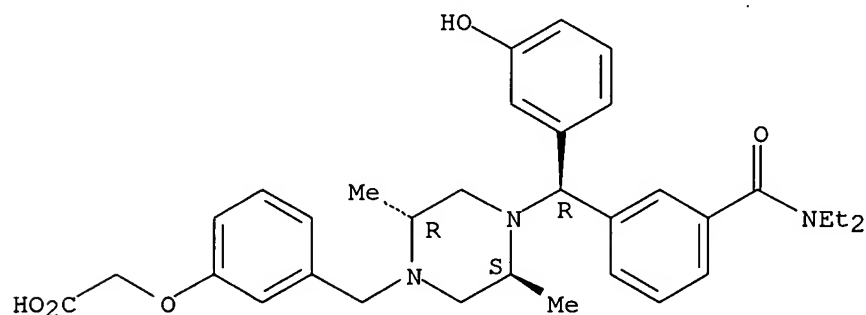
Absolute stereochemistry.



RN 561068-66-4 CAPLUS

CN Acetic acid, [3-[[[2R,5S]-4-[(R)-[3-[(diethylamino)carbonyl]phenyl](3-hydroxyphenyl)methyl]-2,5-dimethyl-1-piperazinyl]methyl]phenoxy]- (9CI)
(CA INDEX NAME)

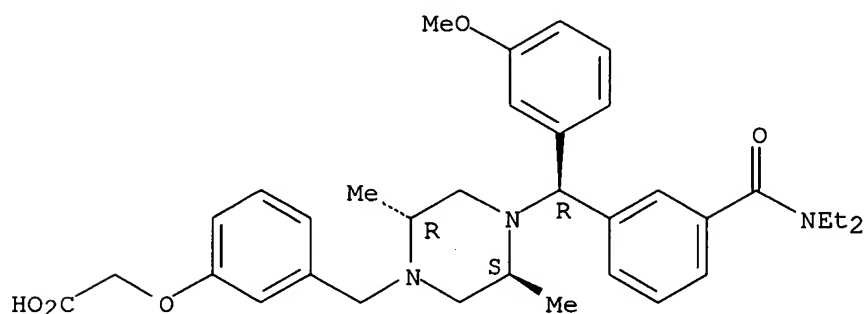
Absolute stereochemistry.



RN 561068-68-6 CAPLUS

CN Acetic acid, [3-[[[2R,5S]-4-[(R)-[3-[(diethylamino)carbonyl]phenyl](3-methoxyphenyl)methyl]-2,5-dimethyl-1-piperazinyl]methyl]phenoxy]- (9CI)
(CA INDEX NAME)

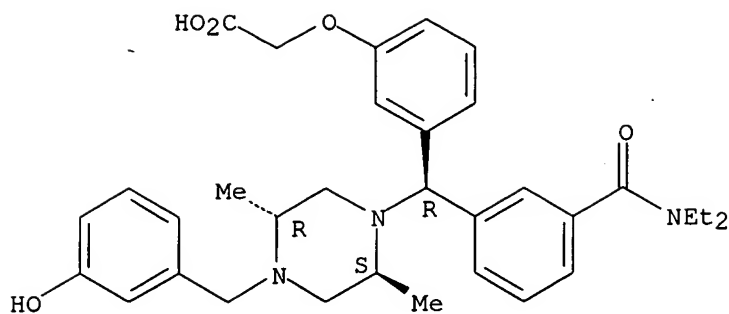
Absolute stereochemistry.



RN 561068-76-6 CAPLUS

CN Acetic acid, [3-[(R)-[3-[(diethylamino)carbonyl]phenyl][(2S,5R)-4-[(3-hydroxyphenyl)methyl]-2,5-dimethyl-1-piperazinyl]methyl]phenoxy]- (9CI)
(CA INDEX NAME)

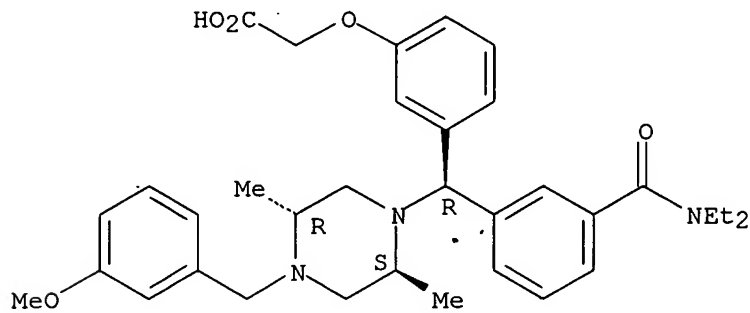
Absolute stereochemistry.



RN 561068-77-7 CAPLUS

CN Acetic acid, [3-[(R)-[3-[(diethylamino)carbonyl]phenyl][(2S,5R)-4-[(3-methoxyphenyl)methyl]-2,5-dimethyl-1-piperazinyl]methyl]phenoxy]- (9CI)
(CA INDEX NAME)

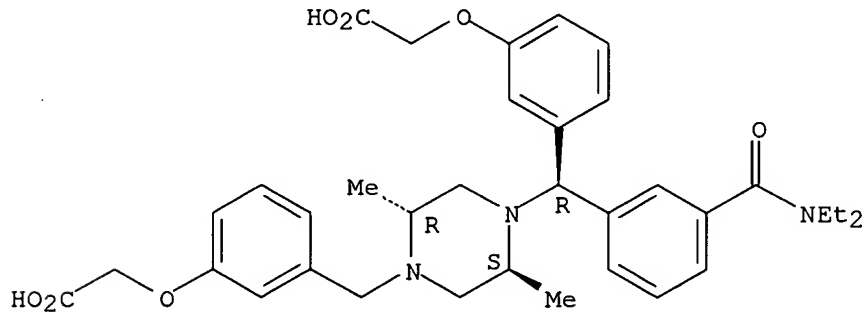
Absolute stereochemistry.



RN 561068-78-8 CAPLUS

CN Acetic acid, [3-[[[(2R,5S)-4-[(R)-[3-(carboxymethoxy)phenyl][3-[(diethylamino)carbonyl]phenyl]methyl]-2,5-dimethyl-1-piperazinyl]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:789135 CAPLUS

DOCUMENT NUMBER: 130:25058

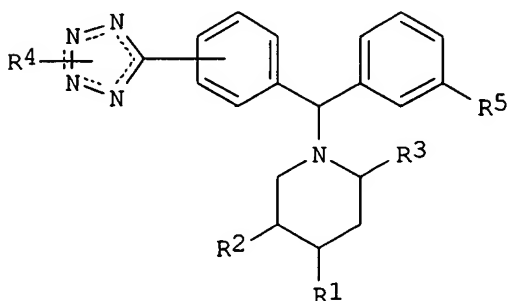
TITLE: Preparation of antiinflammatory
piperazinylbenzyltetrazole derivatives

INVENTOR(S): Maw, Graham Nigel

PATENT ASSIGNEE(S): Pfizer Ltd., UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 138 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9852929	A1	19981126	WO 1998-EP2277	19980417
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EP 983251	A1	20000308	EP 1998-924137	19980417
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AT 269852	E	20040715	AT 1998-924137	19980417
PT 983251	T	20040831	PT 1998-924137	19980417
ES 2221985	T3	20050116	ES 1998-924137	19980417
ZA 9804158	A	19991119	ZA 1998-4158	19980518
US 6514975	B1	20030204	US 1999-367322	19990811
MX 9910800	A	20000430	MX 1999-10800	19991119
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			WO 1998-EP2277	W 19980417
OTHER SOURCE(S):			MARPAT 130:25058	
GI				

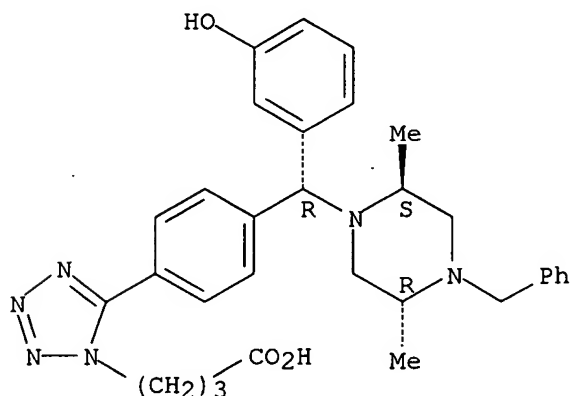


I

AB Tetrazoles I. [R1 = H, C2-C6 alkanoyl, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, C3-C7 cycloalkyl, (C3-C7 cycloalkyl)-(C1-C4 alkyl), (C1-C4 alkoxy)-(C1-C4 alkyl), carboxy-(C1-C4 alkyl), aryl-(C1-C4 alkyl), heteroaryl-(C1-C4 alkyl); R2, R3 = H, C1-C4 alkyl; R4 = H, a group of the formula R6(CH2)mZ(CH2)n, where m = 0, 1, 2 or 3, n is 1, 2 or 3, Z is a direct link or O, and R6 is CO2H or CO2(C1-C4 alkyl), etc.; R5 = hydroxy, C1-C4 alkoxy, NHSO2(C1-C4 alkyl); with the proviso that when Z is O, m is 1, 2, or 3 and n is 2 or 3], selective agonists for the delta opioid receptor, were prepared E.g., Et 4-(5-{4-[(R,S)-α-(4-allyl-1-piperazinyl)-3-hydroxybenzyl]phenyl}-1-tetrazolyl)butyrate was prepared in several steps.

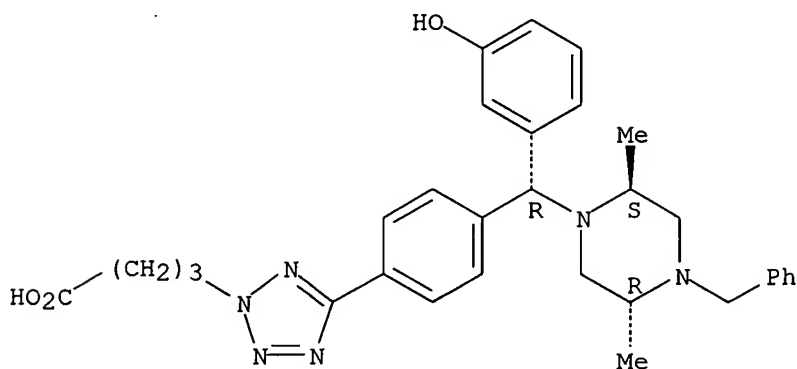
IT 216531-27-0P 216531-28-1P 216531-29-2P
 216531-30-5P 216531-31-6P 216531-32-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of piperazinybenzyltetrazoles as selective agonists for the delta opioid receptor)
 RN 216531-27-0 CAPLUS
 CN 1H-Tetrazole-1-butanoic acid, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl] (3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



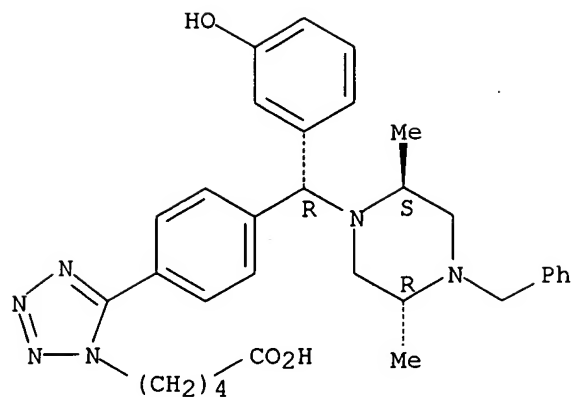
RN 216531-28-1 CAPLUS
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Absolute stereochemistry. Rotation (-).



RN 216531-29-2 CAPLUS
 CN 1H-Tetrazole-1-pentanoic acid, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl] (3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

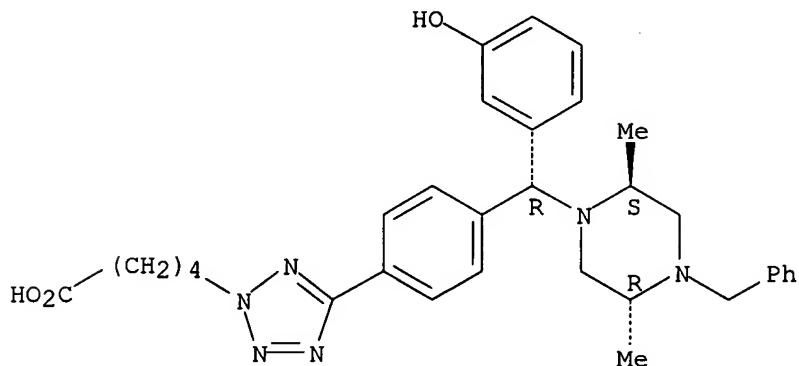
Absolute stereochemistry. Rotation (-).



RN 216531-30-5 CAPLUS

CN 2H-Tetrazole-2-pentanoic acid, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

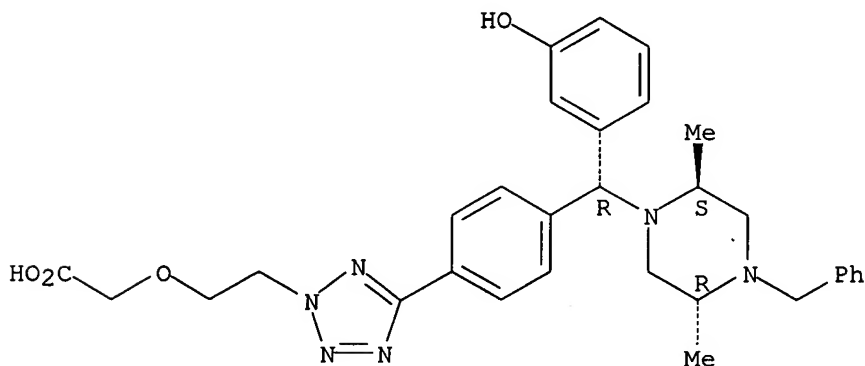
Absolute stereochemistry. Rotation (-).



RN 216531-31-6 CAPLUS

CN Acetic acid, [2-[5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]-2H-tetrazol-2-yl]ethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

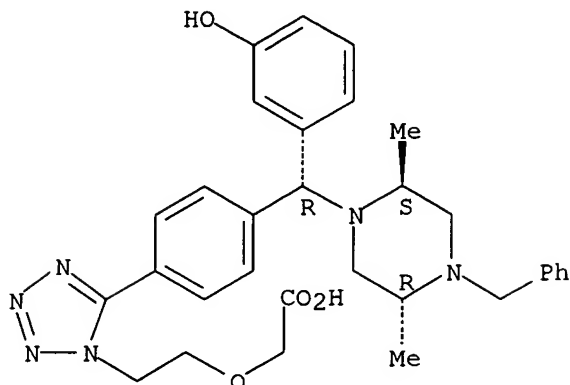


RN 216531-32-7 CAPLUS

CN Acetic acid, [2-[5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]-1H-tetrazol-1-yl]ethoxy]-

(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 16 USPATFULL on STN

ACCESSION NUMBER: 2001:36825 USPATFULL

TITLE: Compounds as delta opioid agonists

INVENTOR(S): Maw, Graham Nigel, Sandwich, United Kingdom
Middleton, Donald Stuart, Sandwich, United Kingdom

PATENT ASSIGNEE(S): Pfizer Inc., New York, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6200978	B1	20010313
APPLICATION INFO.:	US 1999-261540		19990303 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1998-4734	19980305
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Stockton, Laura L.	
LEGAL REPRESENTATIVE:	Richardson, Peter C., Benson, Gregg C., Olson, A. Dean	
NUMBER OF CLAIMS:	16	
EXEMPLARY CLAIM:	1	
LINE COUNT:	4413	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of the formula (I)--shown below--are described. ##STR1##

The compounds are useful in the manufacture of a pharmaceutical composition for preventing or treating inflammatory diseases such as arthritis, psoriasis, asthma, or inflammatory bowel disease, disorders of respiratory function, gastrointestinal disorders such as functional bowel disease, functional GI disorders such as irritable bowel syndrome, functional diarrhoea, functional distension, functional pain, non-ulcerogenic dyspepsia or others associated with disorders of motility or secretion, urogenital tract disorders such as incontinence, as analgesics for treating pain including non-somatic pain, or as immunosuppressants to prevent rejection in organ transplant and skin graft.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 254113-26-3P 254113-27-4P 254113-28-5P

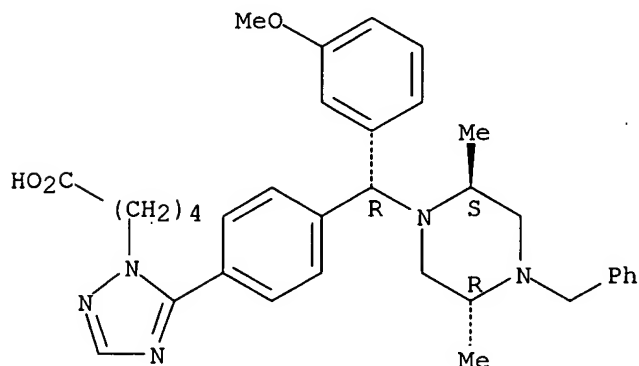
254113-29-6P 254113-40-1P 254113-41-2P
 254113-42-3P 254113-43-4P 254113-46-7P
 254113-47-8P 254113-78-5P 254113-81-0P
 254113-83-2P 254113-84-3P 254113-90-1P
 254113-96-7P 254113-98-9P 254114-02-8P
 254114-05-1P 254114-11-9P 254114-19-7P
 254114-20-0P 254114-22-2P

(preparation of benzylpiperazine derivs. as delta opioid receptor agonists)

RN 254113-26-3 USPATFULL

CN 1H-1,2,4-Triazole-1-pentanoic acid, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl] (3-methoxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

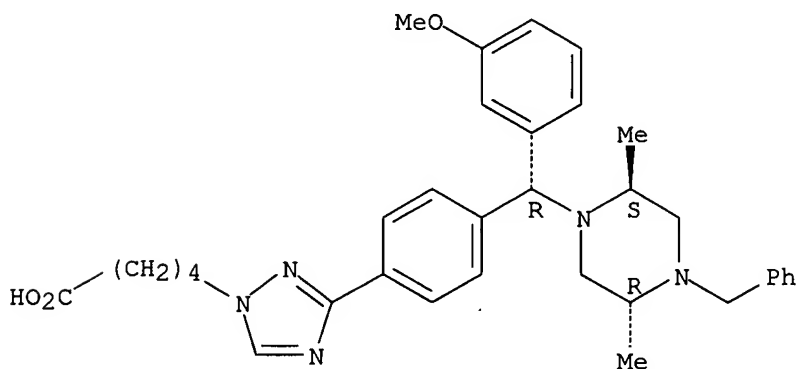
Absolute stereochemistry.



RN 254113-27-4 USPATFULL

CN 1H-1,2,4-Triazole-1-pentanoic acid, 3-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl] (3-methoxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

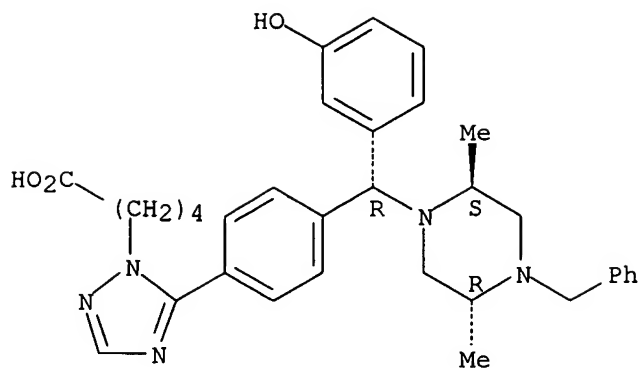
Absolute stereochemistry.



RN 254113-28-5 USPATFULL

CN 1H-1,2,4-Triazole-1-pentanoic acid, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl] (3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

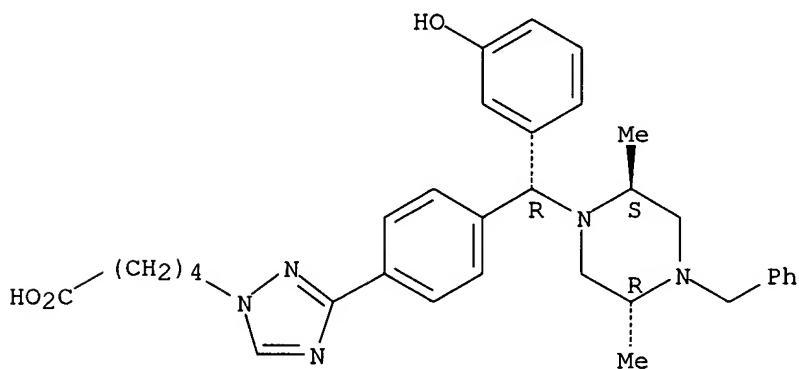
Absolute stereochemistry.



RN 254113-29-6 USPATFULL

CN 1H-1,2,4-Triazole-1-pentanoic acid, 3-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

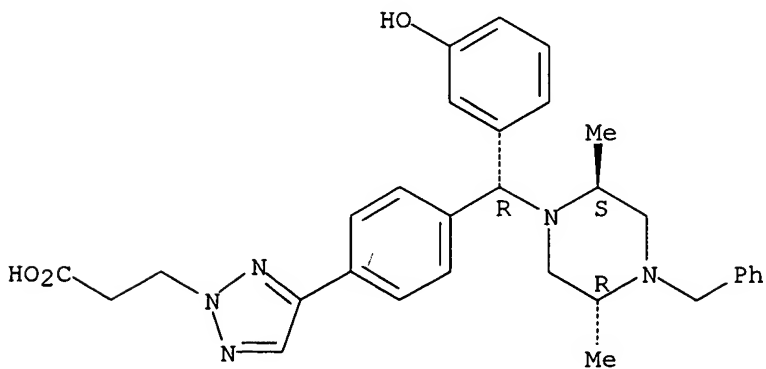
Absolute stereochemistry.



RN 254113-40-1 USPATFULL

CN 2H-1,2,3-Triazole-2-propanoic acid, 4-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

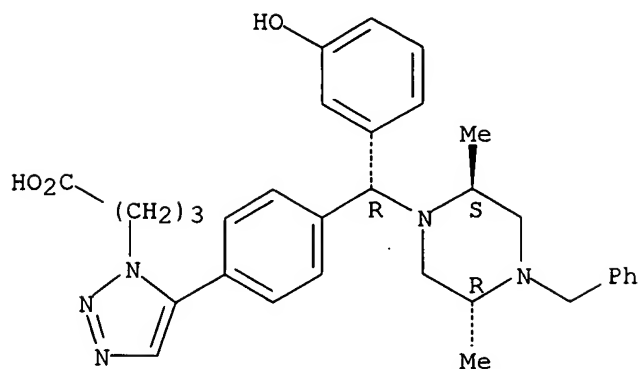
Absolute stereochemistry.



RN 254113-41-2 USPATFULL

CN 1H-1,2,3-Triazole-1-butanoic acid, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

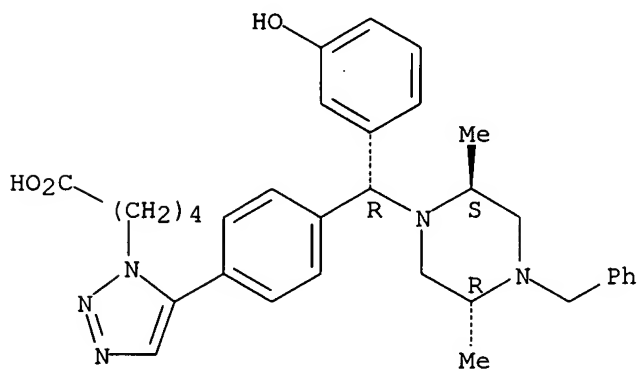
Absolute stereochemistry.



RN 254113-42-3 USPATFULL

CN 1H-1,2,3-Triazole-1-pentanoic acid, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

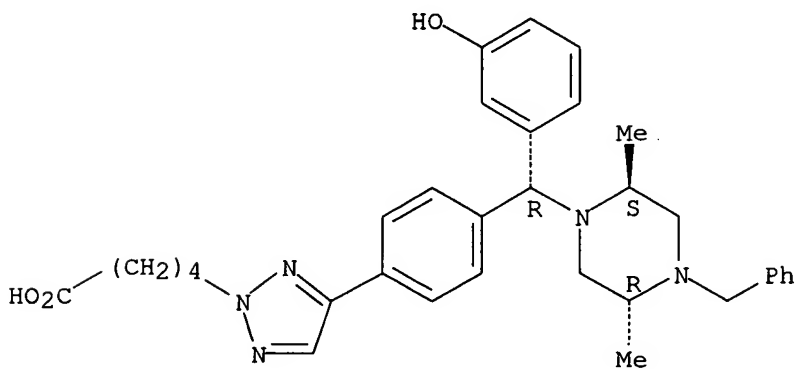
Absolute stereochemistry. Rotation (-).



RN 254113-43-4 USPATFULL

CN 2H-1,2,3-Triazole-2-pentanoic acid, 4-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

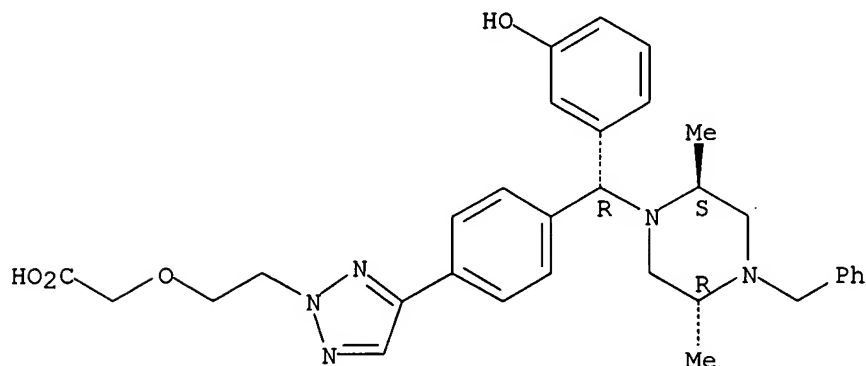
Absolute stereochemistry. Rotation (-).



RN 254113-46-7 USPATFULL

CN Acetic acid, [2-[4-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]-2H-1,2,3-triazol-2-yl]ethoxy]- (9CI) (CA INDEX NAME)

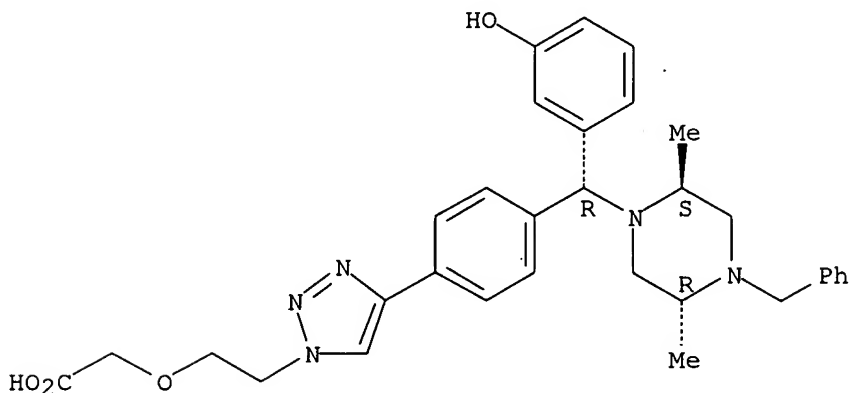
Absolute stereochemistry. Rotation (-).



RN 254113-47-8 USPATFULL

CN Acetic acid, [2-[4-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]-1H-1,2,3-triazol-1-yl]ethoxy]- (9CI) (CA INDEX NAME)

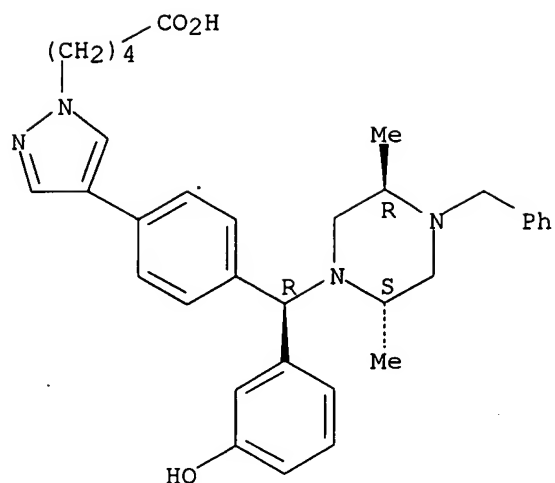
Absolute stereochemistry. Rotation (-).



RN 254113-78-5 USPATFULL

CN 1H-Pyrazole-1-pentanoic acid, 4-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

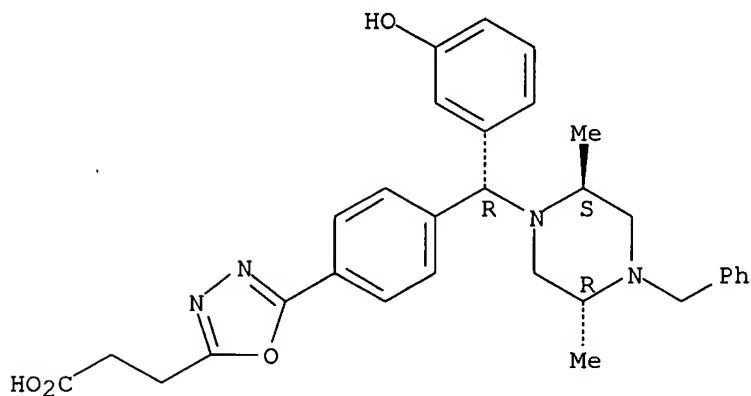
Absolute stereochemistry.



RN 254113-81-0 USPATFULL

CN 1,3,4-Oxadiazole-2-propanoic acid, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

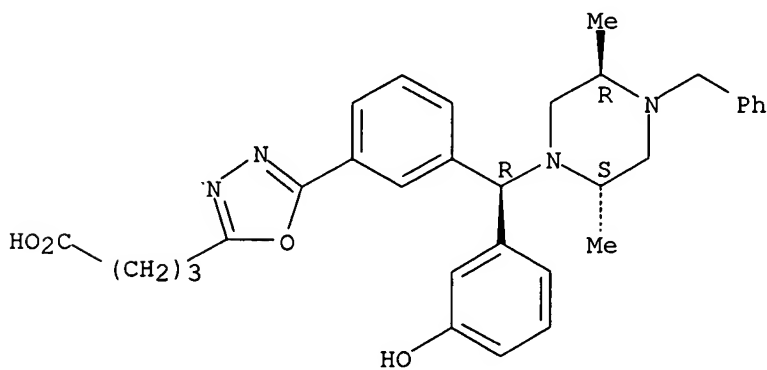
Absolute stereochemistry.



RN 254113-83-2 USPATFULL

CN 1,3,4-Oxadiazole-2-butanoic acid, 5-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

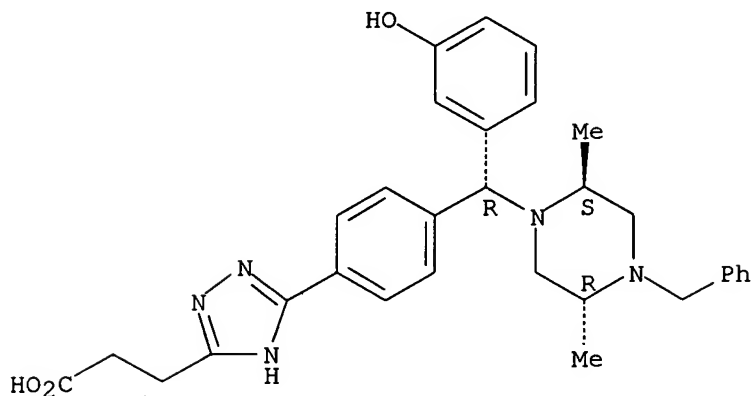
Absolute stereochemistry. Rotation (-).



RN 254113-84-3 USPATFULL

CN 1H-1,2,4-Triazole-3-propanoic acid, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

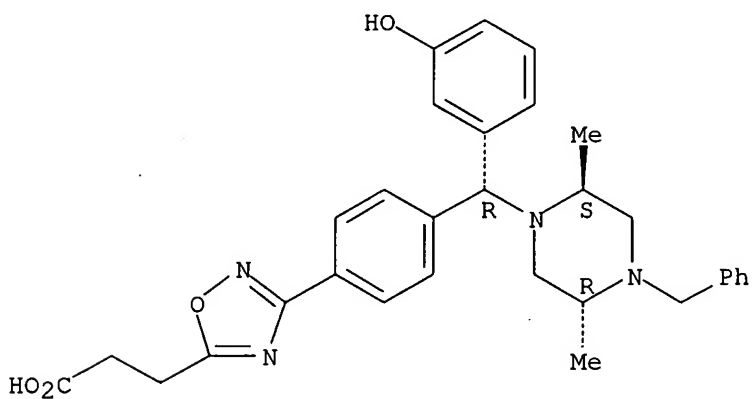
Absolute stereochemistry.



RN 254113-90-1 USPATFULL

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

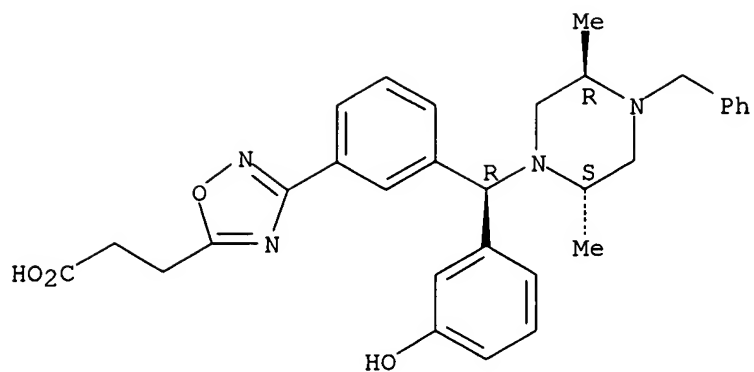
Absolute stereochemistry.



RN 254113-96-7 USPATFULL

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

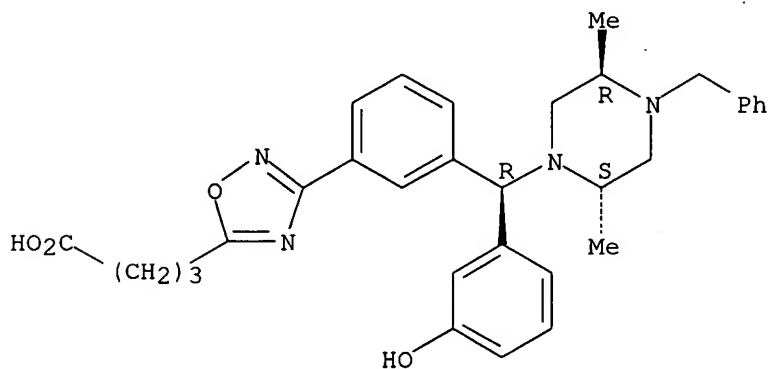
Absolute stereochemistry.



RN 254113-98-9 USPATFULL

CN 1,2,4-Oxadiazole-5-butanoic acid, 3-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

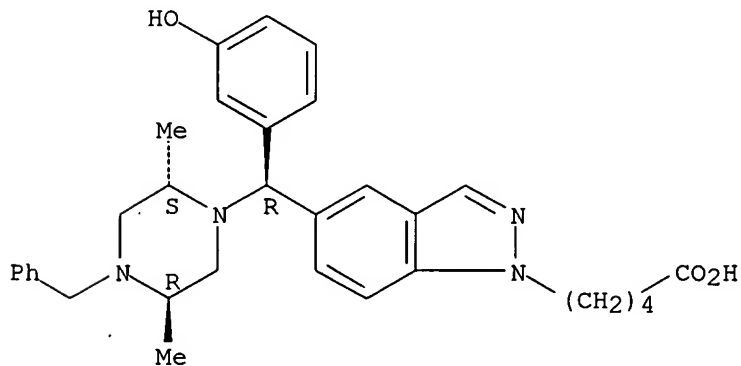
Absolute stereochemistry.



RN 254114-02-8 USPATFULL

CN 1H-Indazole-1-pentanoic acid, 5-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]- (9CI) (CA INDEX NAME)

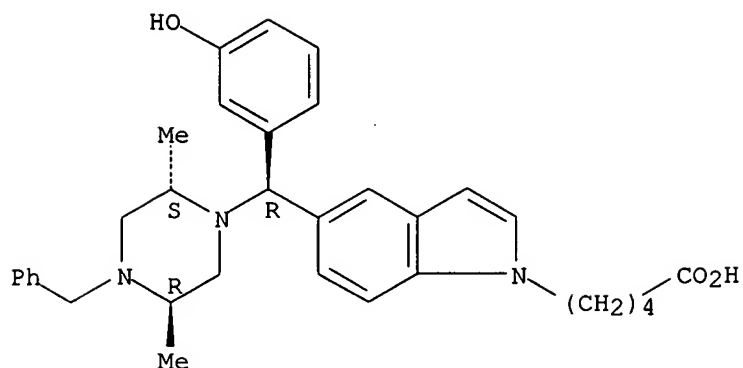
Absolute stereochemistry.



RN 254114-05-1 USPATFULL

CN 1H-Indole-1-pentanoic acid, 5-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]- (9CI) (CA INDEX NAME)

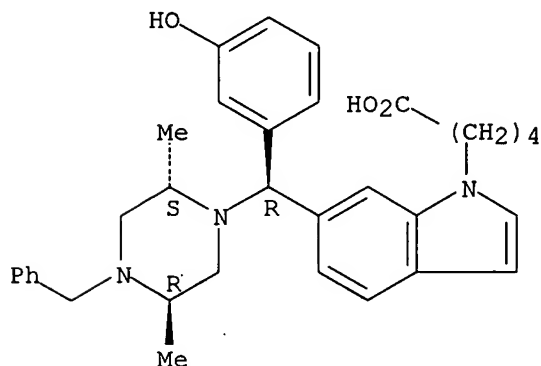
Absolute stereochemistry.



RN 254114-11-9 USPATFULL

CN 1H-Indole-1-pentanoic acid, 6-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]- (9CI) (CA INDEX NAME)

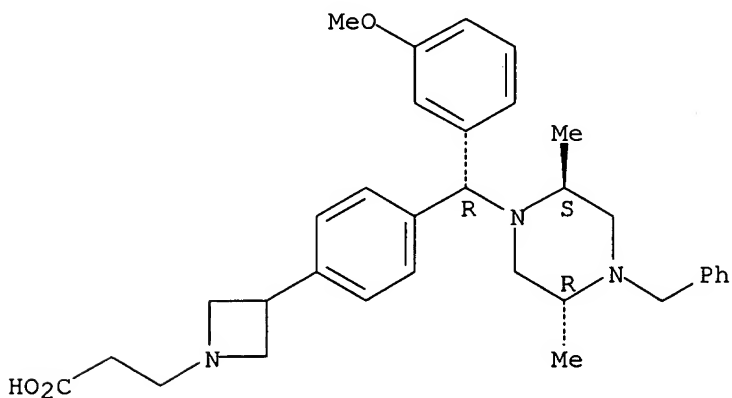
Absolute stereochemistry.



RN 254114-19-7 USPATFULL

CN 1-Azetidinepropanoic acid, 3-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-methoxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

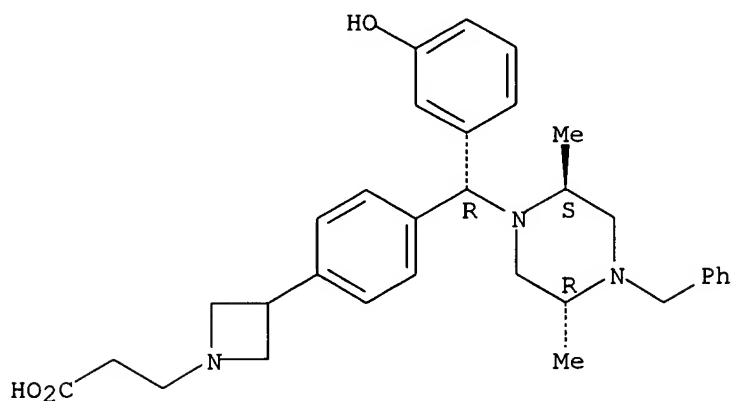


RN 254114-20-0 USPATFULL

CN 1-Azetidinepropanoic acid, 3-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-

(phenylmethyl)-1-piperazinyl] (3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

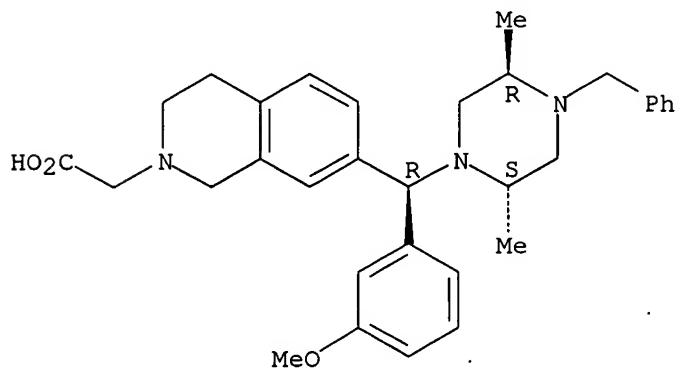
Absolute stereochemistry.



RN 254114-22-2 USPATFULL

CN 2(1H)-Isoquinolineacetic acid, 7-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl] (3-methoxyphenyl)methyl]-3,4-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:483367 CAPLUS

DOCUMENT NUMBER: 121:83367

TITLE: Analgesic diarylmethylpiperazines and piperidines

INVENTOR(S): Chang, Kwen Jen; Boswell, Grady Evan; Bubacz, Dulce Garrido; Collins, Mark Allan; Davis, Ann Otstot; Mcnutt, Robert Walton

PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK

SOURCE: PCT Int. Appl., 214 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

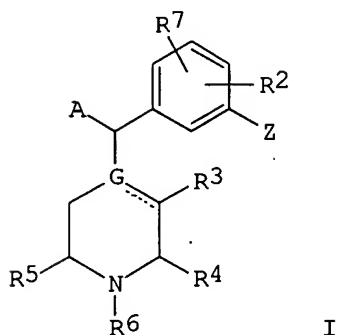
FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9315062	A1	19930805	WO 1993-GB216	19930202
W: AT, AU, BR, CA, CH, DE, ES, HU, JP, KP, LU, NL, NO, PL, RO, RU,				

SE, UA, US
 RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
 BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG

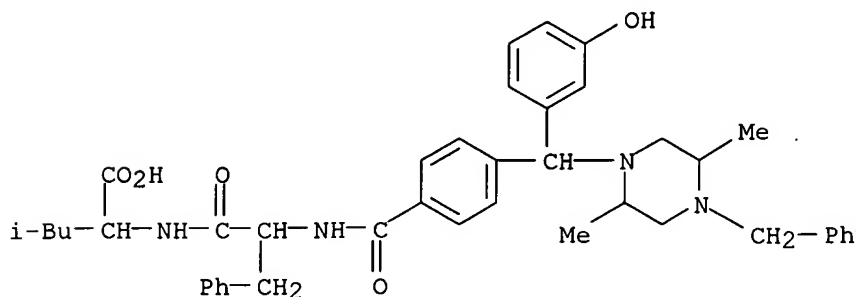
AU 9334573	A1	19930901	AU 1993-34573	19930202
AU 675928	B2	19970227		
ZA 9300717	A	19940802	ZA 1993-717	19930202
JP 07503247	T2	19950406	JP 1993-513072	19930202
JP 3109832	B2	20001120		
EP 649414	A1	19950426	EP 1993-914513	19930202
EP 649414	B1	20030416		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
IL 104582	A1	19981030	IL 1993-104582	19930202
AT 237597	E	20030515	AT 1993-914513	19930202
PT 649414	T	20030930	PT 1993-914513	19930202
ES 2197152	T3	20040101	ES 1993-914513	19930202
US 5658908	A	19970819	US 1994-284445	19940803
US 5854249	A	19981229	US 1997-864667	19970528
US 2002052007	A1	20020502	US 2001-957903	20010921
US 2005255151	A1	20051117	US 2005-184762	20050719
PRIORITY APPLN. INFO.:			GB 1992-2238	A 19920203
			WO 1993-GB216	A 19930202
			US 1994-284445	A3 19940803
			US 1996-658726	A2 19960605
			US 1997-887312	A3 19970703
			US 1999-352308	A2 19990712
			US 2001-974004	A3 20011009
OTHER SOURCE(S):		MARPAT 121:83367		
GI				



AB The title compds. [I; A = 5- or 6-membered carbocyclic or heterocyclic aromatic ring; G = C, N; R2 = H, halogen, C1-4 alkyl; R3-R5 = H, Me (so long as the total number of Me groups is not greater than 2); R6 = H, C1-6 alkyl, C3-6 cycloalkyl, aralkyl, etc.; R7 = H, F; Z = HO, esters, hydroxymethyl, NH2, carboximides, sulfonimides; R1 = R2 = R7 = F only when Z = OH and G = C when R6 ≠ aralkyl], useful as mu and/or delta receptor opioid compds. for mediating analgesia, are prepared and I-containing formulations presented. Thus, (±)-4-[(α-R)-α-[(2S,5R)]-4-allyl-2,5-dimethyl-1-piperazinyl-3-hydroxybenzyl]-N,N-diethylbenzamide, prepared from 3-bromophenol in a multi-step reaction, demonstrated 50% inhibitory concentration against rat brain delta receptors at 1.8 nM and 50% Mu receptor inhibitory concentration of 15 nM.

IT 155806-55-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and analgesic activity of)

RN 155806-55-6 CAPLUS
 CN L-Leucine, N-[N-[4-[[2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]-L-phenylalanyl]-, [2R-[1(R*),2 α ,5 β]]- (9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 16 USPATFULL on STN

ACCESSION NUMBER: 2003:265855 USPATFULL

TITLE: Method of treating sexual dysfunctions with delta opioid receptor agonist compounds

INVENTOR(S): Chang, Kwen-Jen, Chapel Hill, NC, UNITED STATES
 King, Klim, Chapel Hill, NC, UNITED STATES
 Biciunas, Kestutis P., Durham, NC, UNITED STATES
 McNutt, Robert W., JR., Durham, NC, UNITED STATES
 Pendergast, William, Durham, NC, UNITED STATES
 Jan, Shyi-Tai, Cary, NC, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003186872	A1	20031002
APPLICATION INFO.:	US 2003-335764	A1	20030102 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-345216P	20020102 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	INTELLECTUAL PROPERTY / TECHNOLOGY LAW, PO BOX 14329, RESEARCH TRIANGLE PARK, NC, 27709	
NUMBER OF CLAIMS:	63	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	4 Drawing Page(s)	
LINE COUNT:	4363	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compositions and methods for treatment of sexual dysfunctions by administering to a subject a pharmaceutical composition comprising a delta opioid receptor agonist in an amount effective to delay the onset of ejaculation in the subject during sexual stimulation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 561068-36-8P, 3-[(α R)- α -(2S,5R)-4-Benzyl-2,5-dimethyl-1-piperazinyl)-4-(diethylaminocarbonyl)benzyl]phenoxyacetic acid
 561068-37-9P, 3-[(α R)-4-(Diethylaminocarbonyl)- α -(2S,5R)-2,5-dimethyl-4-(4-fluorobenzyl)-1-piperazinyl]benzyl]phenoxyacetic acid
 561068-66-4P, [3-[(2R,5S)-4-[(R)-(3-Diethylcarbamoylphenyl)(3-hydroxyphenyl)methyl]-2,5-dimethylpiperazin-1-yl]methyl]phenoxy]acetic acid
 561068-68-6P, [3-[(2R,5S)-4-[(R)-(3-Diethylcarbamoylphenyl)(3-methoxyphenyl)methyl]-2,5-dimethylpiperazin-1-yl]methyl]phenoxy]acetic acid
 561068-76-6P, [3-[(R)-(3-Diethylcarbamoylphenyl)](2S,5R)-4-(3-

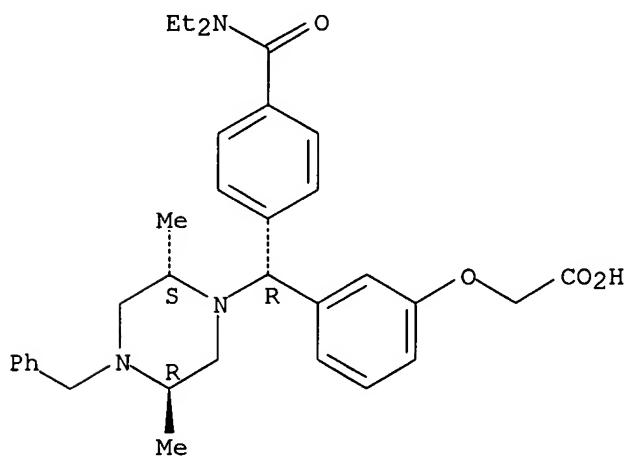
hydroxybenzyl)-2,5-dimethylpiperazin-1-yl)methyl]phenoxy]acetic acid
 561068-77-7P, [3-[(R)-(3-Diethylcarbamoylphenyl)[(2S,5R)-4-(3-methoxybenzyl)-2,5-dimethylpiperazin-1-yl)methyl]phenoxy]acetic acid
 561068-78-8P, [3-[[[(2R,5S)-4-[(R)-[3-(Carboxymethoxy)phenyl](3-diethylcarbamoylphenyl)methyl]-2,5-dimethylpiperazin-1-yl)methyl]phenoxy]acetic acid

(drug candidate; preparation of novel piperazinylbenzyl derivs. and method of treating premature ejaculation with these and known delta opioid receptor agonists)

RN 561068-36-8 USPATFULL

CN Acetic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl)methyl]phenoxy]- (9CI) (CA INDEX NAME)

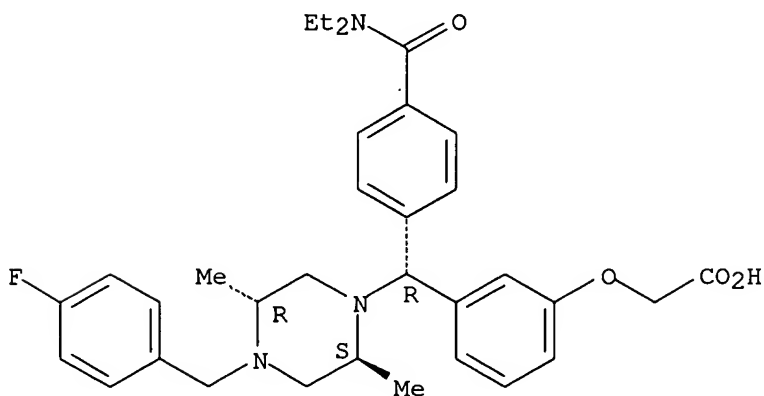
Absolute stereochemistry.



RN 561068-37-9 USPATFULL

CN Acetic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][(2S,5R)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl)methyl]phenoxy]- (9CI) (CA INDEX NAME)

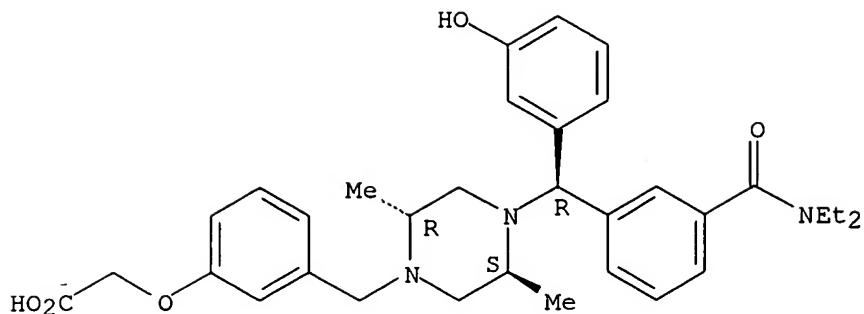
Absolute stereochemistry.



RN 561068-66-4 USPATFULL

CN Acetic acid, [3-[[[(2R,5S)-4-[(R)-[3-[(diethylamino)carbonyl]phenyl](3-hydroxyphenyl)methyl]-2,5-dimethyl-1-piperazinyl)methyl]phenoxy]- (9CI) (CA INDEX NAME)

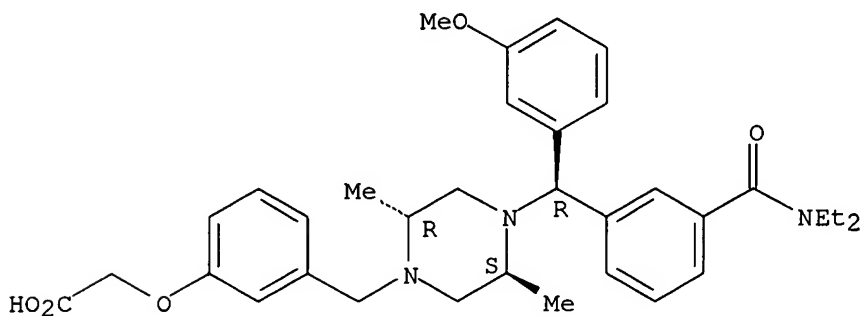
Absolute stereochemistry.



RN 561068-68-6 USPATFULL

CN Acetic acid, [3-[[(2R,5S)-4-[(R)-[3-[(diethylamino) carbonyl]phenyl] (3-methoxyphenyl)methyl]-2,5-dimethyl-1-piperazinyl]methyl]phenoxy]- (9CI)
(CA INDEX NAME)

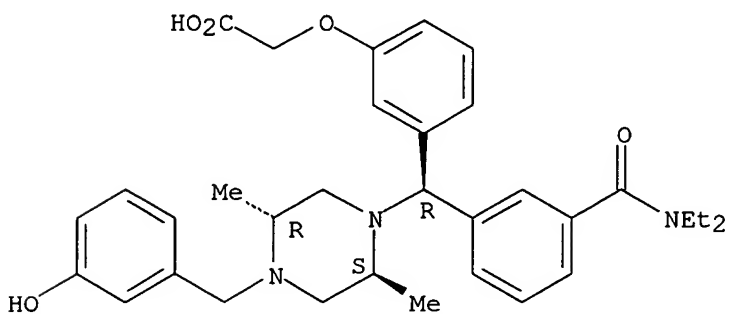
Absolute stereochemistry.



RN 561068-76-6 USPATFULL

CN Acetic acid, [3-[(R)-[3-[(diethylamino) carbonyl]phenyl] [(2S,5R)-4-[(3-hydroxyphenyl)methyl]-2,5-dimethyl-1-piperazinyl]methyl]phenoxy]- (9CI)
(CA INDEX NAME)

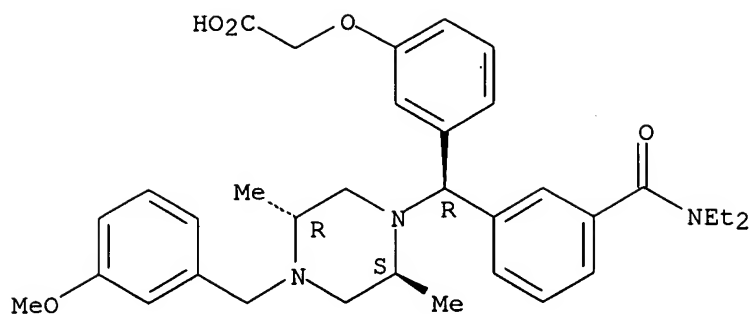
Absolute stereochemistry.



RN 561068-77-7 USPATFULL

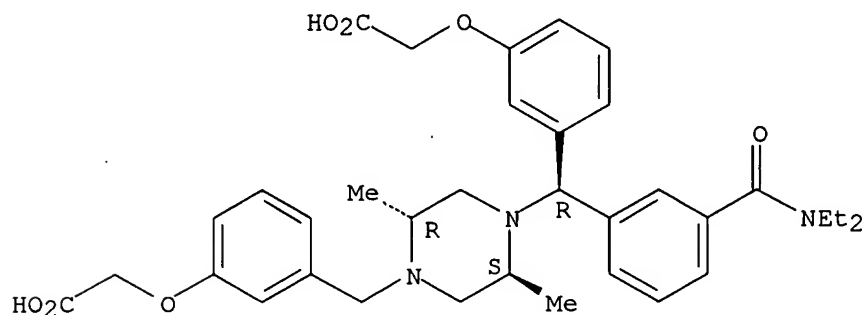
CN Acetic acid, [3-[(R)-[3-[(diethylamino) carbonyl]phenyl] [(2S,5R)-4-[(3-methoxyphenyl)methyl]-2,5-dimethyl-1-piperazinyl]methyl]phenoxy]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 561068-78-8 USPATFULL
 CN Acetic acid, [3-[[[(2R,5S)-4-[(R)-[3-(carboxymethoxy)phenyl][3-
 [(diethylamino)carbonyl]phenyl)methyl]-2,5-dimethyl-1-
 piperazinyl)methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 8 OF 16 USPATFULL on STN
 ACCESSION NUMBER: 2003:33481 USPATFULL
 TITLE: Anti-inflammatory piperazinyl-benzyl-tetrazole
 derivatives and intermediates thereof
 INVENTOR(S): Maw, Graham N., Sandwich, UNITED KINGDOM
 PATENT ASSIGNEE(S): Pfizer Inc, New York, NY, United States (U.S.
 corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6514975	B1	20030204
	WO 9852929		19981126
APPLICATION INFO.:	US 1999-367322		19990811 (9)
	WO 1998-EP2277		19980417

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1997-9972	19970519
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Bernhardt, Emily	
LEGAL REPRESENTATIVE:	Richardson, Peter C., Benson, Gregg C., Ronau, Robert T.	
NUMBER OF CLAIMS:	17	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)	
LINE COUNT:	3112	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
AB	This invention relates to tetrazoles and their pharmaceutically	

acceptable salts which are selective agonists for the delta opioid receptor, particularly useful in the treatment of inflammatory diseases such as arthritis, psoriasis, asthma, inflammatory bowel disease, disorders or respiratory function, gastrointestinal disorders such as functional bowel disease and functional GI disorders, of formula (I)
##STR1##

wherein R^{sup.1} is H, C_{sub.2}-C_{sub.6} alkanoyl, C_{sub.1}-C_{sub.6} alkyl, C_{sub.2}-C_{sub.6} alkenyl, C_{sub.2}-C_{sub.6} alkynyl, (C_{sub.3}-C_{sub.7} cycloalkyl)-(C_{sub.1}-C_{sub.4} alkyl), (C_{sub.1}-C_{sub.4} alkoxy)-(C_{sub.1}-C_{sub.4} alkyl), carboxy-(C_{sub.1}-C_{sub.4} alkyl), aryl-(C_{sub.1}-C_{sub.4} alkyl) or heteroaryl-(C_{sub.1}-C_{sub.4} alkyl); R^{sup.2} and R^{sup.3} are each independently H or C_{sub.1}-C_{sub.4} alkyl; R_{sub.4} is selected from (i) H, (ii) a group of the formula R^{sup.6}-(CH_{sub.2})_{sub.m}-Z-(CH_{sub.2})_{sub.n}-, where m is 0, 1, 2 or 3, n is 1, 2 or 3, Z is a direct link or O, and R^{sup.6} is --CO_{sub.2}H or --CO_{sub.2}(C_{sub.1}-C_{sub.4} alkyl), and (iii) a group of formula (a)
##STR2##

where R^{sup.7} is H or C_{sub.1}-C_{sub.4} alkyl; and R^{sup.5} is hydroxy, C_{sub.1}-C_{sub.4} alkoxy or --NHSO_{sub.2}(C_{sub.1}-C_{sub.4} alkyl); with the proviso that when Z is O, m is 1, 2 or 3 and n is 2 or 3.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 216531-27-0P 216531-28-1P 216531-29-2P

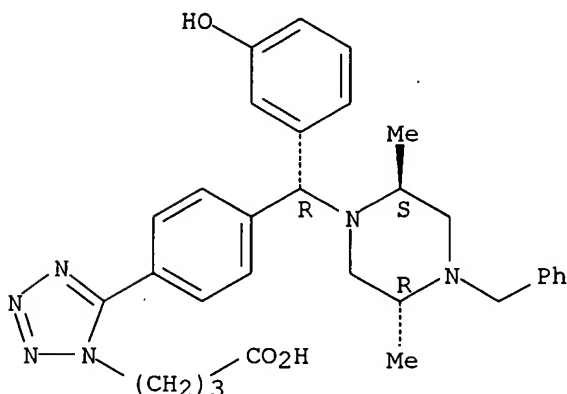
216531-30-5P 216531-31-6P 216531-32-7P

(preparation of piperazinylbenzyltetrazoles as selective agonists for the delta opioid receptor)

RN 216531-27-0 USPATFULL

CN 1H-Tetrazole-1-butanoic acid, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

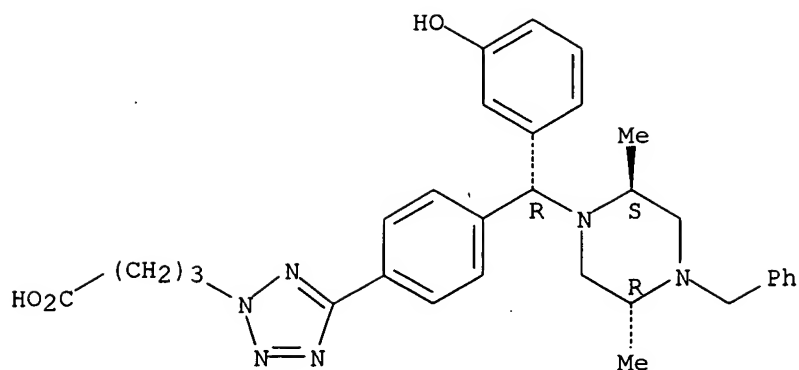
Absolute stereochemistry. Rotation (-).



RN 216531-28-1 USPATFULL

CN 2H-Tetrazole-2-butanoic acid, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

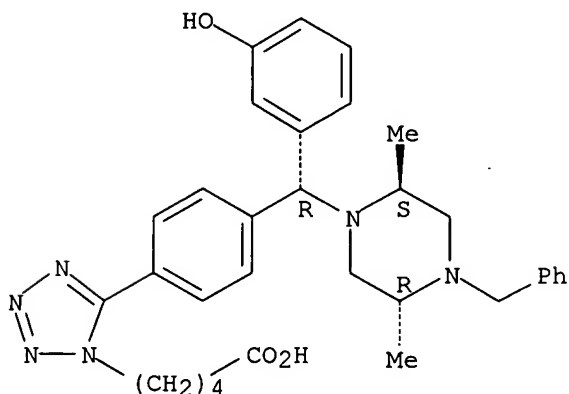
Absolute stereochemistry. Rotation (-).



RN 216531-29-2 USPATFULL

CN 1H-Tetrazole-1-pentanoic acid, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

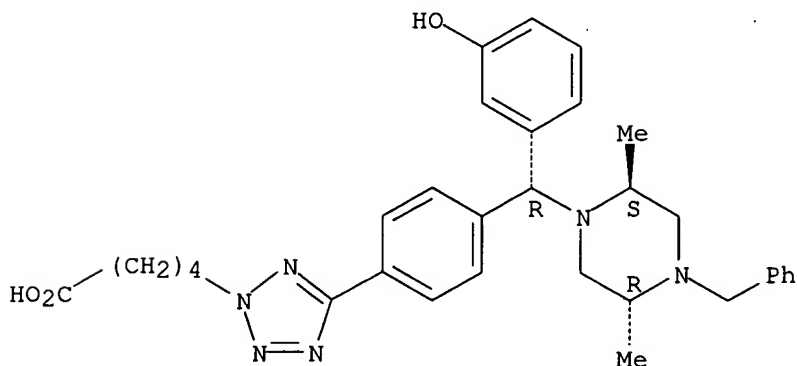
Absolute stereochemistry. Rotation (-).



RN 216531-30-5 USPATFULL

CN 2H-Tetrazole-2-pentanoic acid, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

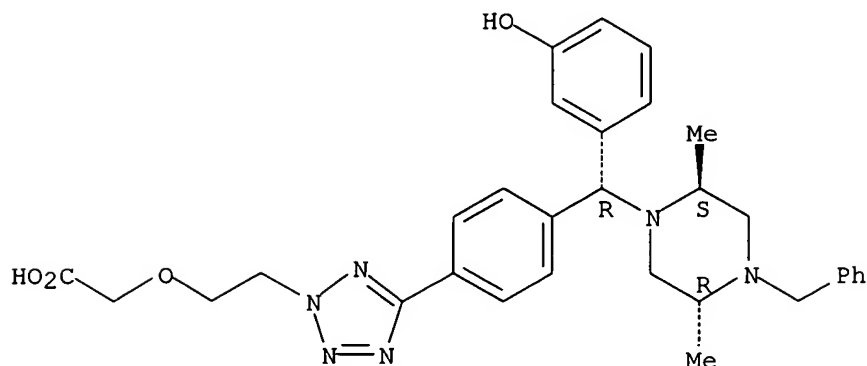


RN 216531-31-6 USPATFULL

CN Acetic acid, [2-[5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]-2H-tetrazol-2-yl]ethoxy]-

(9CI) (CA INDEX NAME)

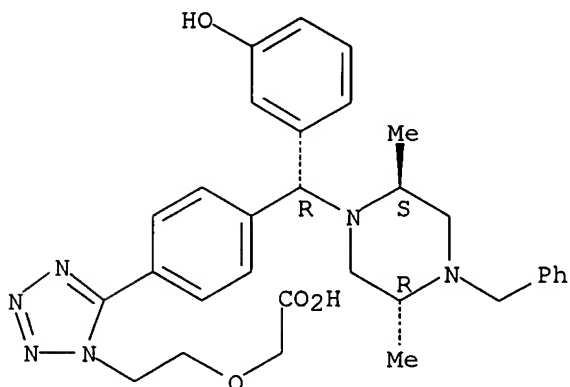
Absolute stereochemistry. Rotation (+).



RN 216531-32-7 USPATFULL

CN Acetic acid, [2-[5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]-1H-tetrazol-1-yl]ethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 9 OF 16 USPATFULL on STN

ACCESSION NUMBER: 2005:292605 USPATFULL

TITLE: Compositions and methods for reducing respiratory depression and attendant side effects of mu opioid compounds

INVENTOR(S): Chang, Kwen-Jen, Chapel Hill, NC, UNITED STATES
McNutt, Robert W. JR., Durham, NC, UNITED STATES
Pettit, Hugh O., Cary, NC, UNITED STATES
Bishop, Michael J., Durham, NC, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005255151	A1	20051117
APPLICATION INFO.:	US 2005-184762	A1	20050719 (11)
RELATED APPLN. INFO.:	Division of Ser. No. US 2001-974004, filed on 9 Oct 2001, GRANTED, Pat. No. US 6919350 Division of Ser. No. US 1999-352308, filed on 12 Jul 1999, GRANTED, Pat. No. US 6300332 Division of Ser. No. US 1997-887312, filed on 3 Jul 1997, GRANTED, Pat. No. US 5985880 Continuation-in-part of Ser. No. US 1996-658726, filed		

on 5 Jun 1996, GRANTED, Pat. No. US 5807858

	NUMBER	DATE
PRIORITY INFORMATION:	WO 1993-GB216	19930202
	GB 1992-2238	19920203
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	INTELLECTUAL PROPERTY / TECHNOLOGY LAW, PO BOX 14329, RESEARCH TRIANGLE PARK, NC, 27709, US	
NUMBER OF CLAIMS:	3	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	2 Drawing Page(s)	
LINE COUNT:	2121	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

AB A method of reducing, treating or preventing drug-mediated respiratory depression, muscle rigidity, or nausea/vomiting in an animal, incident to the administration to said animal of a mixed delta/mu opioid agonist or a respiratory depression-mediating drug, comprising administering to the animal receiving said drug an effective amount of a delta receptor agonist compound. Preferred examples of such delta receptor agonist compound include diarylmethyl piperazine compounds and diarylmethyl piperidine compounds, and pharmaceutical compositions thereof, having utility in medical therapy for reducing respiratory depression associated with certain analgesics, such as mu opiates.

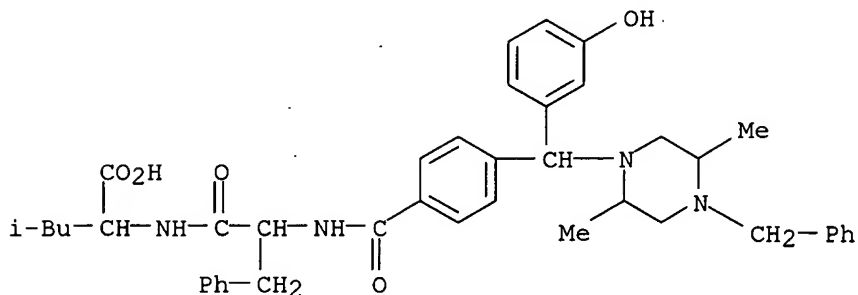
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 155806-55-6P

(preparation and analgesic activity of)

RN 155806-55-6 USPATFULL

CN L-Leucine, N-[N-[4-[[2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]-L-phenylalanyl]-, [2R-[1(R*),2 α ,5 β]]- (9CI) (CA INDEX NAME)



L5 ANSWER 10 OF 16 USPATFULL on STN

ACCESSION NUMBER: 2002:206661 USPATFULL

TITLE: Compositions and Methods for Reducing Respiratory Depression and Attendant Side Effects of Mu Opioid Compounds

INVENTOR(S): Chang, Kwen-Jen, Mr., 104 Sierra Drive, Chapel Hill, NC, UNITED STATES 27514
McNutt, Robert W., Jr., Mr., 700 Morreene Road, Apt. B-9, Durham, NC, UNITED STATES 27705
Pettit, Hugh O., Mr., 106 Wyatts Pond Lane, Cary, NC, UNITED STATES 27513
Bishop, Michael J., Mr., 235 Lochridge Drive, Durham, NC, UNITED STATES 27713

PATENT ASSIGNEE(S): Ardent Pharmaceuticals, Inc., RTP, 27709-2278, UNITED STATES, NC (U.S. individual)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002111359	A1	20020815
	US 6919350	B2	20050719
APPLICATION INFO.:	US 2001-974004	A1	20011009 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1999-9352308, filed on 12 Jul 1999, GRANTED, Pat. No. US 6300332 Division of Ser. No. US 1997-8887312, filed on 3 Jul 1997, GRANTED, Pat. No. US 5985880 Continuation-in-part of Ser. No. US 1996-8658726, filed on 5 Jun 1996, GRANTED, Pat. No. US 5807858		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	Steven J. Hultquist, Marianne Fuierer, 6320 Quadrangle, Suite 110, Chapel Hill, NC, 27517		
NUMBER OF CLAIMS:	29		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	2 Drawing Page(s)		
LINE COUNT:	2405		
CAS INDEXING IS AVAILABLE FOR THIS PATENT.			
AB	Abstract of Disclosure		

A method of reducing, treating or preventing drug-mediated respiratory depression, muscle rigidity, or nausea/vomiting in an animal, incident to the administration to said animal of a mixed delta/mu opioid agonist or a respiratory depression-mediating drug, comprising administering to the animal receiving said drug an effective amount of a delta receptor agonist compound. Preferred examples of such delta receptor agonist compound include diarylmethyl piperazine compounds and diarylmethyl piperidine compounds, and pharmaceutical compositions thereof, having utility in medical therapy for reducing respiratory depression associated with certain analgesics, such as mu opiates.

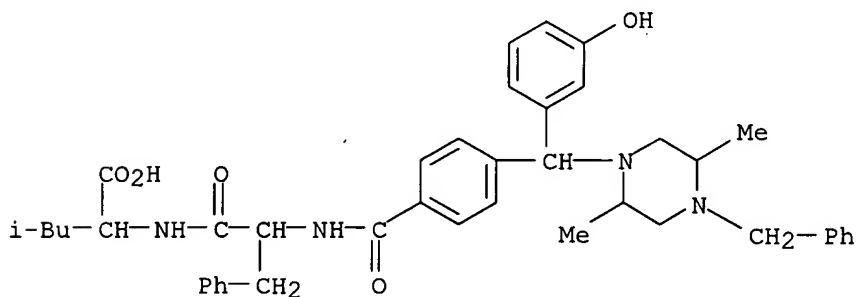
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 155806-55-6P

(preparation and analgesic activity of)

RN 155806-55-6 USPATFULL

CN L-Leucine, N-[N-[4-[[2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]-L-phenylalanyl]-, [2R-[1(R*),2 α ,5 β]]- (9CI) (CA INDEX NAME)



L5 ANSWER 11 OF 16 USPATFULL on STN

ACCESSION NUMBER: 2001:173586 USPATFULL

TITLE: Methods for reducing respiratory depression and attendant side effects of mu opioid compounds

INVENTOR(S): Chang, Kwen-Jen, Chapel Hill, NC, United States
McNutt, Jr., Robert W., Durham, NC, United States
Pettit, Hugh O., Cary, NC, United States

PATENT ASSIGNEE(S): Bishop, Michael J., Durham, NC, United States
Delta Pharmaceuticals, Inc., Durham, NC, United States
(U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6300332	B1	20011009
APPLICATION INFO.:	US 1999-352308		19990712 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1997-887312, filed on 3 Jul 1997, now patented, Pat. No. US 5985880, issued on 16 Nov 1999 Continuation-in-part of Ser. No. US 1996-658726, filed on 5 Jun 1996, now patented, Pat. No. US 5807858, issued on 15 Sep 1998		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Jarvis, William R. A.		
LEGAL REPRESENTATIVE:	Hultquist, Steven J.		
NUMBER OF CLAIMS:	25		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	2 Drawing Figure(s); 2 Drawing Page(s)		
LINE COUNT:	2505		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method of reducing, treating or preventing drug-mediated respiratory depression, muscle rigidity, or nausea/vomiting in an animal, incident to the administration to said animal of a mixed delta/mu opioid agonist or a respiratory depression-mediating drug, comprising administering to the animal receiving said drug an effective amount of a delta receptor agonist compound.

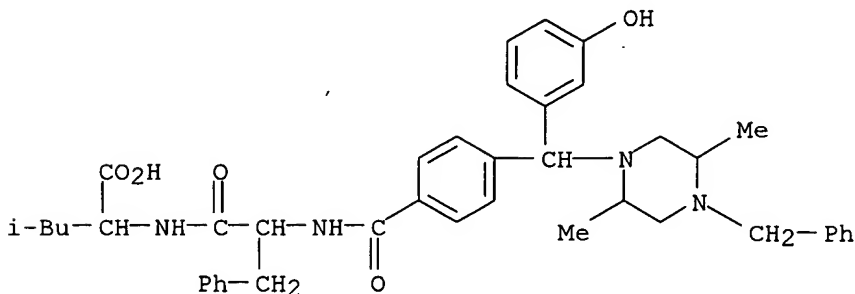
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 155806-55-6P

(preparation and analgesic activity of)

RN 155806-55-6 USPATFULL

CN L-Leucine, N-[N-[4-[[2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]-L-phenylalanyl]-, [2R-[1(R*),2 α ,5 β]]- (9CI) (CA INDEX NAME)



L5 ANSWER 12 OF 16 USPATFULL on STN

ACCESSION NUMBER: 1998:162513 USPATFULL

TITLE: Opioid diarylmethylpiperazines and piperidines

INVENTOR(S): Chang, Kwen-Jen, Chapel Hill, NC, United States

Boswell, Grady Evan, Cary, NC, United States

Bubacz, Dulce Garrido, Cary, NC, United States

Collins, Mark Allan, Raleigh, NC, United States

Davis, Ann Otstot, Raleigh, NC, United States

McNutt, Jr., Robert Walton, Durham, NC, United States

PATENT ASSIGNEE(S): Delta Pharmaceuticals, Inc., Chapel Hill, NC, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5854249		19981229
APPLICATION INFO.:	US 1997-864667		19970528 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1994-284445, filed on 3 Aug 1994, now patented, Pat. No. US 5658908		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1992-2238	19920203
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Shah, Mukund J.	
ASSISTANT EXAMINER:	Ngo, Tamthom T.	
LEGAL REPRESENTATIVE:	Hultquist, Steven J., Barrett, William A.	
NUMBER OF CLAIMS:	22	
EXEMPLARY CLAIM:	1	
LINE COUNT:	5761	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method for the treatment or prophylaxis of one or more conditions or disorders selected from the group consisting of physiological pain, diarrhea, urinary incontinence, mental illness, drug and alcohol addiction/overdose, lung edema, depressioysema, apnea, cognitive disorders and gastrointestinal disorders, comprising administration to a subject in need of such treatment or prophylaxis, of a diarylmethylpiperazine or piperidine opioid compound.

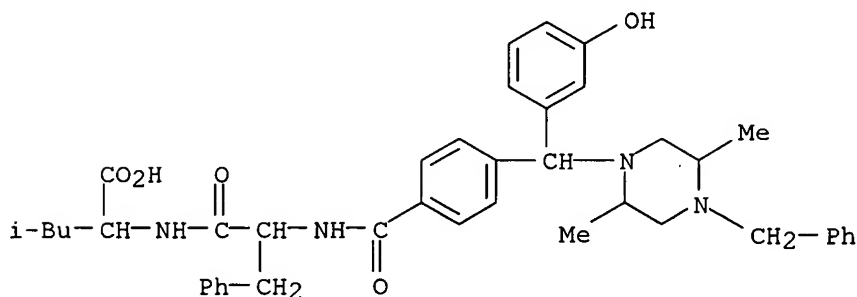
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 155806-55-6P

(preparation and analgesic activity of)

RN 155806-55-6 USPATFULL

CN L-Leucine, N-[N-[4-[[2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]-L-phenylalanyl]-, [2R-[1(R*),2 α ,5 β]]- (9CI) (CA INDEX NAME)



L5 ANSWER 13 OF 16 USPATFULL on STN

ACCESSION NUMBER: 1998:111938 USPATFULL

TITLE: Compositions and methods for reducing respiratory depression

INVENTOR(S): Chang, Kwen-Jen, Chapel Hill, NC, United States
McNutt, Jr., Robert W., Durham, NC, United States
Pettit, Hugh O., Cary, NC, United States
Bishop, Michael J., Durham, NC, United States

PATENT ASSIGNEE(S): Delta Pharmaceutical, Inc., Chapel Hill, NC, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5807858		19980915

APPLICATION INFO.: US 1996-658726 19960605 (8)
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Rotman, Alan L.
ASSISTANT EXAMINER: Aulakm, Charanjit S.
LEGAL REPRESENTATIVE: Hultquist, Steven J., Barrett, William A.
NUMBER OF CLAIMS: 46
EXEMPLARY CLAIM: 1
LINE COUNT: 2203

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to, inter alia, methods and compositions for reducing, treating or preventing respiratory depression in an animal, using a compound of the formula: ##STR1## wherein: Ar, G, Z, R.sup.2, R.sup.3, R.sup.4, R.sup.5, R.sup.6 and R.sup.7 are as defined in specification,

or a pharmaceutically acceptable ester or salt thereof.

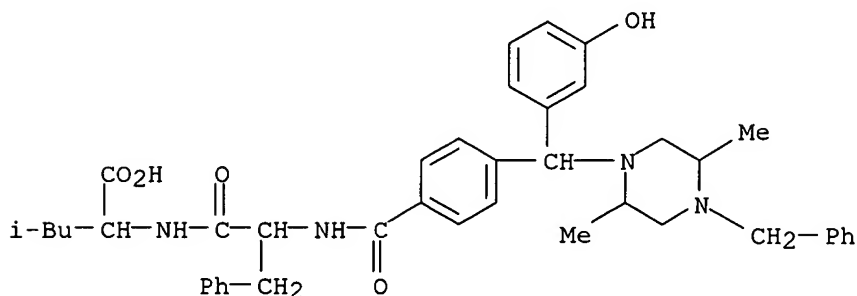
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 155806-55-6P

(preparation and analgesic activity of)

RN 155806-55-6 USPATFULL

CN L-Leucine, N-[N-[4-[[2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]-L-phenylalanyl]-, [2R-[1(R*),2 α ,5 β]]- (9CI) (CA INDEX NAME)



L5 ANSWER 14 OF 16 USPATFULL on STN

ACCESSION NUMBER: 97:73615 USPATFULL

TITLE: Opioid diarylmethylpiperazines and piperdines

INVENTOR(S): Chang, Kwen-Jen, Chapel Hill, NC, United States

Boswell, Grady Evan, Cary, NC, United States

Bubacz, Dulce Garrido, Cary, NC, United States

Collins, Mark Allan, Raleigh, NC, United States

Davis, Ann Otstot, Raleigh, NC, United States

McNutt, Jr., Robert Walton, Durham, NC, United States

PATENT ASSIGNEE(S): Delta Pharmaceuticals, Inc., Chapel Hill, NC, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5658908		19970819
	WO 9315062		19930805
APPLICATION INFO.:	US 1994-284445		19940803 (8)
	WO 1993-GB216		19930202
			19940803 PCT 371 date
			19940803 PCT 102(e) date

NUMBER	DATE
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PRIORITY INFORMATION: GB 1992-2238 19920203
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Bernhardt, Emily
LEGAL REPRESENTATIVE: Hultquist, Steven J.
NUMBER OF CLAIMS: 26
EXEMPLARY CLAIM: 1,19,21,22
LINE COUNT: 5991

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Diarylmethylpiperazine compounds having utility as receptor-binding species, e.g., for mediating analgesia, and for combatting drug addiction, alcohol addiction, and drug overdose. The compounds may be administered orally, rectally, topically, nasally, ophthalmically, or parenterally (subcutaneously, intramuscularly, and intravenously), for veterinary and human use, and include delta receptor and mu receptor binding species.

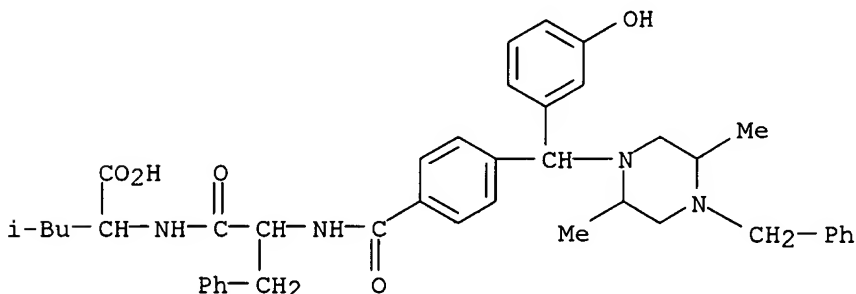
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 155806-55-6P

(preparation and analgesic activity of)

RN 155806-55-6 USPATFULL

CN L-Leucine, N-[N-[4-[[2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]-L-phenylalanyl]-, [2R-[1(R*),2 α ,5B]]- (9CI) (CA INDEX NAME)



L5 ANSWER 15 OF 16 USPATFULL on STN

ACCESSION NUMBER: 96:104120 USPATFULL

TITLE: Opioid compounds and methods for making therefor

INVENTOR(S): Chang, Kwen-Jen, Chapel Hill, NC, United States

Bubacz, Dulce G., Cary, NC, United States

Davis, Ann O., Raleigh, NC, United States

McNutt, Jr., Robert W., Durham, NC, United States

Bishop, Michael J., Durham, NC, United States

PATENT ASSIGNEE(S): Delta Pharmaceuticals, Inc., Chapel Hill, NC, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5574159		19961112
APPLICATION INFO.:	US 1995-430677		19950428 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1994-285313, filed on 3 Aug 1994 which is a continuation-in-part of Ser. No. US 1993-169879, filed on 17 Dec 1993, now abandoned which is a continuation-in-part of Ser. No. US 1993-98333, filed on 30 Jul 1993, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1992-2238	19920203

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Ford, John M.
ASSISTANT EXAMINER: Sripada, Pavanaram K.
LEGAL REPRESENTATIVE: Hultquist, Steven J.
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 3425

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Diarylmethyl piperazine compounds having utility as exogenous receptor combinant species for binding with receptors such as delta, mu, sigma, and/or kappa receptors are disclosed. Compounds of the invention may be employed as conjugates in agonist/antagonist pairs for transductional monitoring and assays of neurotransmitter function, and also variously exhibit therapeutic utility, including mediating analgesia, and possessing utility for the treatment of diarrhea, urinary incontinence, mental illness, drug and alcohol addiction/overdose, lung edema, depression, asthma, emphysema, cough, and apnea, respiratory depression, cognitive disorders, emesis and gastrointestinal disorders.

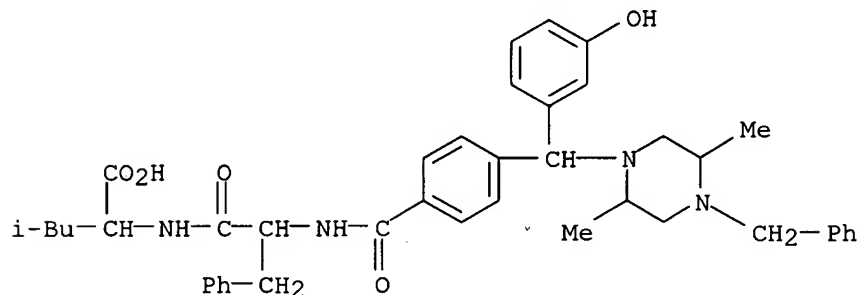
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 155806-55-6P

(preparation and analgesic activity of)

RN 155806-55-6 USPATFULL

CN L-Leucine, N-[N-[4-[[2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]-L-phenylalanyl]-, [2R-[1(R*),2 α ,5 β]]- (9CI) (CA INDEX NAME)



L5 ANSWER 16 OF 16 USPATFULL on STN

ACCESSION NUMBER: 96:80271 USPATFULL

TITLE: Opioid compounds and methods for using same

INVENTOR(S): Chang, Kwen-Jen, Chapel Hill, NC, United States

Bubacz, Dulce G., Cary, NC, United States

Davis, Ann O., Raleigh, NC, United States

McNutt, Jr., Robert W., Durham, NC, United States

Bishop, Michael J., Durham, NC, United States

PATENT ASSIGNEE(S): Delta Pharmaceuticals, Inc., Chapel Hill, NC, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5552404		19960903
APPLICATION INFO.:	US 1995-431377		19950428 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1994-285313, filed on 3 Aug 1994 which is a continuation-in-part of Ser. No. US 1993-169879, filed on 17 Dec 1993, now abandoned which is a continuation-in-part of Ser. No. US 1993-98333, filed on 30 Jul 1993, now abandoned		

DOCUMENT TYPE: Utility

FILE SEGMENT: Granted
 PRIMARY EXAMINER: Cintins, Marianne M.
 ASSISTANT EXAMINER: MacMillan, Keith
 LEGAL REPRESENTATIVE: Hultquist, Steven J.
 NUMBER OF CLAIMS: 20
 EXEMPLARY CLAIM: 1
 LINE COUNT: 3527

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Diarylmethyl piperazine compounds having utility as exogenous receptor combinant species for binding with receptors such as delta, mu, sigma, and/or kappa receptors are disclosed. Compounds of the invention may be employed as conjugates in agonist/antagonist pairs for transductional monitoring and assays of neurotransmitter function, and also variously exhibit therapeutic utility, including mediating analgesia, and possessing utility for the treatment of diarrhea, urinary incontinence, mental illness, drug and alcohol addiction/overdose, lung edema, depression, asthma, emphysema, cough, and apnea, respiratory depression, cognitive disorders, emesis and gastrointestinal disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 155806-55-6P

(preparation and analgesic activity of)

RN 155806-55-6 USPATFULL

CN L-Leucine, N-[N-[4-[[2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]-L-phenylalanyl]-, [2R-[1(R*),2 α ,5 β]]- (9CI) (CA INDEX NAME)

